

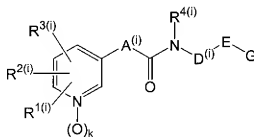
Amendments to the Claims:

This listing of claims will replace all previous versions and listings of claims in the application:

1-56. (Canceled)

57. (New) A pharmaceutical composition comprising:

(a) at least one cancerostatic or immunosuppressive agent selected from the group consisting of compounds of formula (I):



(I)

wherein:

R¹⁽ⁱ⁾ is selected from

hydrogen, halogen, cyano, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₂-C₆-alkinyl, trifluoromethyl, hydroxy, C₃-C₈-cycloalkyl, C₁-C₆-hydroxyalkyl, C₁-C₆-alkoxy, C₃-C₆-alkenyloxy, C₃-C₆-alkinyloxy, benzyloxy, C₁-C₇-alkanoyloxy, C₁-C₇-alkoxycarbonyloxy, C₁-C₆-alkylthio, C₃-C₆-alkenylthio, C₃-C₆-alkinylthio, C₃-C₈-cycloalkyloxy, C₃-C₈-cycloalkylthio, C₂-C₇-alkoxycarbonyl, aminocarbonyl, C₂-C₇-alkylaminocarbonyl, C₃-C₁₃-dialkylaminocarbonyl, carboxy, phenyl, phenoxy, phenylthio, pyridyloxy, pyridylthio, and **NR⁵⁽ⁱ⁾R⁶⁽ⁱ⁾**, wherein

R⁵⁽ⁱ⁾ and **R⁶⁽ⁱ⁾** are selected independently from each other from hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, benzyl and phenyl;

R²⁽ⁱ⁾ is selected from

hydrogen, halogen, cyano, C₁-C₆-alkyl, trifluoromethyl, hydroxy, C₁-C₆-alkoxy, benzyl and C₁-C₆-alkanoyloxy; or

R¹⁽ⁱ⁾ and **R²⁽ⁱ⁾** when they are adjacent optionally form a bridge selected from

-(CH₂)₄-, -(CH=CH)₂- and -CH₂O-**CR⁷⁽ⁱ⁾****R⁸⁽ⁱ⁾**-O-, wherein

R⁷⁽ⁱ⁾ and **R⁸⁽ⁱ⁾** are selected independently from each other from hydrogen and C₁-C₆-alkyl;

R³⁽ⁱ⁾ selected is from

hydrogen, halogen, C₁-C₆-alkyl, trifluoromethyl and C₁-C₆-hydroxyalkyl;

R⁴⁽ⁱ⁾ is selected from

hydrogen, hydroxy, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl,

C₃-C₆-cycloalkyl, C₁-C₆-alkoxy and benzyloxy;

k is 0 or 1,

A⁽ⁱ⁾ is selected from

C₁-C₆-alkylene, optionally substituted one- to three-fold by C₁-C₆-alkyl,

C₁-C₃-alkoxy, hydroxy, fluorine, or phenyl,

C₂-C₆-alkylene, wherein a methylene unit is isosterically replaced by O, S,

NR⁹⁽ⁱ⁾, CO, SO or SO₂, wherein, with the exception of CO, the isosteric substitution is not adjacent to the amide group, and

R⁹⁽ⁱ⁾ is selected from hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl,

C₃-C₆-acyl and C₁-C₆-alkanesulfonyl,

1,2-cyclopropylene,

C₂-C₆-alkenylene, optionally substituted one to three-fold by C₁-C₆-alkyl,

hydroxy, C₁-C₃-alkoxy, fluorine, cyano or phenyl,

C₄-C₆-alkadienylene, optionally substituted once or twice by C₁-C₃-alkyl,

fluorine, cyano or phenyl,

1,3,5-hexatrienylene, optionally substituted by C₁-C₆-alkyl, fluorine, cyano or

phenyl, and

ethynylene;

D(i) is selected from

C₁-C₁₂-alkylene, optionally substituted once or twice by C₁-C₆-alkyl, hydroxy,

C₁-C₆-alkoxy, or phenyl,

C₂-C₁₂-alkenylene or C₄-C₁₂-alkadienylene, optionally substituted once or twice by C₁-C₆-alkyl, hydroxy, C₁-C₆-alkoxy, or phenyl, wherein one double-bond can optionally occur to ring **E** in the case that ring **E** is linked over a C-atom,

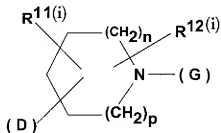
C₃-C₁₂-alkynylene or C₄-C₁₂-alkenynylene, optionally substituted once or twice by C₁-C₆-alkyl, hydroxy, C₁-C₆-alkoxy or phenyl, and

C₁-C₁₂-alkylene, C₂-C₁₂-alkenylene or C₃-C₁₂-alkynylene, wherein, one to three methylene units, with the exception of the (G)-terminal methylene group in the case that **E** represents a bond, are isosterically replaced by O, S, **NR¹⁰⁽ⁱ⁾**, CO, SO or SO₂, wherein

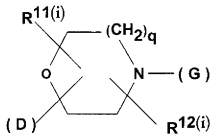
R¹⁰⁽ⁱ⁾ has the same meaning as **R⁹⁽ⁱ⁾**, but is selected independently thereof;

E is selected from **E¹⁽ⁱ⁾**, **E²⁽ⁱ⁾**, **E³**, **E⁴**, **E⁵** and **E⁶**, wherein

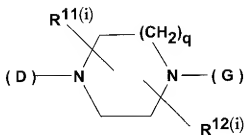
E¹⁽ⁱ⁾ is



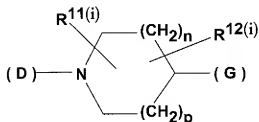
E²⁽ⁱ⁾ is



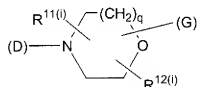
E³ is



E⁴ is



E⁵ is



and

E⁶ represents a single or double bond,

wherein the heterocyclic rings **E¹⁽ⁱ⁾** to **E⁵** optionally have a double bond,

n and **p** are, independently from each other, 0, 1, 2, or 3 with the proviso that, **n + p ≤ 4**,
q is 1, 2 or 3;

R¹¹⁽ⁱ⁾ is selected from

hydrogen, C₁-C₆-alkyl, hydroxy,

hydroxymethyl, carboxy and C₂-C₇-alkoxycarbonyl,

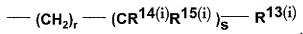
R¹²⁽ⁱ⁾ is selected from

hydrogen, C₁-C₆-alkyl and an oxo group adjacent to a nitrogen atom, or

R¹¹⁽ⁱ⁾ and **R¹²⁽ⁱ⁾**, optionally together, form a C₁-C₃-alkylene bridge under formation of
a bicyclic ring system, and

(a) in the case that E represents $E^{1(i)}$, $E^{2(i)}$, or E^3 the substituent G optionally is selected from $G^{1(i)}$, $G^{2(i)}$, $G^{3(i)}$, $G^{4(i)}$ and $G^{5(i)}$, wherein

$G^{1(i)}$ is



wherein

r is 0 to 3 and

s is 0 or 1,

$R^{13(i)}$ is selected from

hydrogen, C_1 - C_6 -alkyl, C_3 - C_6 -alkenyl, C_3 - C_6 -alkinyl and C_3 - C_8 -cycloalkyl; saturated or unsaturated, four to seven-membered heterocycles which contain one or two hetero-atoms selected from N, S and O;

benzyl, phenyl;

monocyclic aromatic five or six-membered heterocycles which contain one to three hetero-atoms selected from N, S and O, and are either bound directly or over a methylene group;

annelated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16, 17 or 18 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group;

annelated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16, 17 or 18 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from N, S and O and the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group;

$R^{14(i)}$ has the same meaning as $R^{13(i)}$, but is independently selected therefrom;

$R^{15(i)}$ is selected from

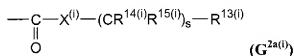
hydrogen, hydroxy, methyl, benzyl, phenyl,

monocyclic aromatic five or six-membered heterocycles which contain one to three hetero-atoms selected from N, S and O and are either bound directly or over a methylene group;

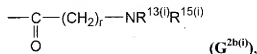
annelated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16, 17 or 18 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group;

annelated bi- and tricyclic aromatic or partially hydrated heterocyclic ring systems with 8 to 16, 17 or 18 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from N, S and O and the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group;

$G^{2(i)}$ is selected from

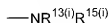


and



wherein r , s and the substituents $R^{13(i)}$, $R^{14(i)}$ and $R^{15(i)}$ have the above meanings,

or the group



is a nitrogen-containing heterocycle bound over the nitrogen atom, which

nitrogen-containing heterocycle is selected from

saturated and unsaturated monocyclic, four to eight-membered heterocycles,

which aside from the essential nitrogen atom, optionally contain one or two further hetero-atoms selected from N, S and O,

and

saturated and unsaturated bi- or tricyclic, anellated or bridged heterocycles with 8 to 16, 17 or 18 ring atoms, which aside from the essential nitrogen atom, optionally contain one or two further hetero-atoms selected from N, S and O,

X⁽ⁱ⁾ is selected from
methylene, ethylene, ethenylene, propylene, and C₃-C₇-cycloalkylene, or
represents a bond;

G³⁽ⁱ⁾ is

$$-\text{SO}_2-(\text{CH}_2)_r-\text{R}^{13(i)},$$
 wherein **r** and **R¹³⁽ⁱ⁾** have the above meanings,

G⁴⁽ⁱ⁾ is



wherein

Ar¹ and **Ar²** are selected independently from each other from phenyl, pyridyl and naphthyl;

G⁵⁽ⁱ⁾ is

$$-\text{COR}^{16(i)}$$
 wherein

R¹⁶⁽ⁱ⁾ is selected from
trifluoromethyl, C₁-C₆-alkoxy, C₃-C₆-alkenyloxy, and benzyloxy,

(b) in the case that **E** is **E⁴** or **E⁵**,
then **G** optionally is **G¹⁽ⁱ⁾**, **G²⁽ⁱ⁾**, **G⁶⁽ⁱ⁾**, **G⁷** or **G⁸**,
wherein **G¹⁽ⁱ⁾** and **G²⁽ⁱ⁾** have the above meanings and

G⁶⁽ⁱ⁾ is

$$=(\text{C})_u\text{R}^{13(i)}\text{R}^{15(i)},$$
 wherein **R¹³⁽ⁱ⁾** and **R¹⁵⁽ⁱ⁾** have the above meanings and

u is 0 or 1,

or when $u = 1$, then **R¹³⁽ⁱ⁾** and **R¹⁵⁽ⁱ⁾** together with the carbon atom to which they are attached form a ring system selected from

C₃-C₈-cycloalkyl,

saturated, four to seven-membered heterocycles which optionally contain one or two hetero-atoms, selected from N, S and O;

annelated bi- and tricyclic partially hydrogenated carbocyclic ring systems with 8 to 16, 17 or 18 ring atoms and at least one aromatic ring;

annelated bi- and tricyclic partially hydrogenated heterocyclic ring systems with 8 to 16, 17 or 18 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from N, S and O;

or when $u = 0$ then **R¹³⁽ⁱ⁾** and **R¹⁵⁽ⁱ⁾** together with the carbon atom of ring E to which they are attached form a ring system selected from

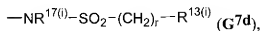
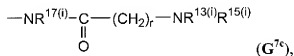
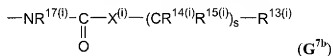
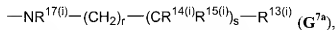
C₃-C₈-cycloalkyl,

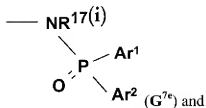
saturated, four to seven-membered heterocycles which contain one or two hetero-atoms, selected from N, S and O;

annelated bi- and tricyclic partially hydrogenated carbocyclic ring systems with 8 to 16, 17 or 18 ring atoms and at least one aromatic ring; and

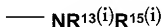
annelated bi- and tricyclic partially hydrogenated heterocyclic ring systems with 8 to 16, 17 or 18 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from N, S and O;

G⁷ is selected from





wherein **r**, **s**, **X⁽ⁱ⁾**, the substituents **R¹³⁽ⁱ⁾**, **R¹⁴⁽ⁱ⁾**, **R¹⁵⁽ⁱ⁾** and **R¹⁶⁽ⁱ⁾** and the group

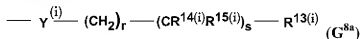


have the above meanings, and

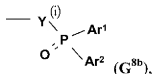
R¹⁷⁽ⁱ⁾ has the same meanings as **R⁵⁽ⁱ⁾**, but is selected independently thereof,

Ar¹ and **Ar²** are selected independently from each other from phenyl, pyridyl and naphthyl;

G⁸ is selected from



and



wherein

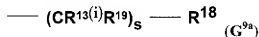
r, **s** and the substituents **R¹³⁽ⁱ⁾**, **R¹⁴⁽ⁱ⁾**, **R¹⁵⁽ⁱ⁾**, **Ar¹** and **Ar²** have the above meanings, and

Y⁽ⁱ⁾ is O or S;

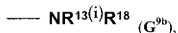
(c) in the case that the substituent **E** is **E⁶**,

then the substituent **G** optionally is selected from **G^{7d}**, **G^{7e}**, **G^{8b}**, **G⁹**, **G¹⁰**, **G¹¹**, **G¹²**, and **G¹³**, wherein **G^{7d}**, **G^{7e}** and **G^{8b}** have the above meanings and

G⁹ is selected from



and



wherein **s** and **R¹³⁽ⁱ⁾** are defined as above, and

R¹⁸ is selected from

benzyl, diphenylmethyl, phenyl;

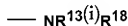
monocyclic aromatic five and six-membered heterocycles which can contain one to three hetero-atoms selected from N, S and O and are either bound directly or over a methylene group;

annelated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16, 17 or 18 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group; and

annelated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16, 17 or 18 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from N, S and O and the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group;

R¹⁹ has the same meanings as **R¹³⁽ⁱ⁾** but is selected independently thereof, and in addition can be hydroxy;

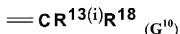
or the group



optionally is a nitrogen-containing heterocycle bound over the nitrogen atom, which nitrogen-containing heterocycle is selected from

annelated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16, 17 or 18 ring atoms and at least one aromatic ring, which aside from the essential nitrogen atom, optionally contain one or two further hetero-atoms selected from N, S and O;

G¹⁰ is

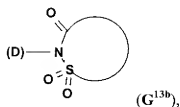


optionally is a ring system bound over the carbon atom, selected from
 annellated bi- and tricyclic partially hydrogenated carbocyclic ring systems with 8
 to 16, 17 or 18 ring atoms and at least one aromatic ring; and
 annellated bi- and tricyclic partially hydrogenated heterocyclic ring systems with 8
 to 16, 17 or 18 ring atoms and at least one aromatic ring, wherein one to three ring
 atoms optionally are selected from N, S and O;

$$\begin{array}{c} \text{--- NR}^{17(i)}\text{--- (CH}_2\text{)}_r\text{--- (CR}^{13(i)}\text{R}^{19}\text{)}_s\text{--- R}^{18} \quad (\text{G}^{11a}), \\ \text{NR}^{17(i)}\text{--- C --- X}^{(i)}\text{--- (CR}^{13(i)}\text{R}^{19}\text{)}_s\text{--- R}^{18} \\ \quad \quad \quad || \\ \quad \quad \quad \text{O} \end{array} \quad (\text{G}^{11b})$$
$$\text{---NR}^{17(i)}\text{---}\underset{\underset{\text{Y}^{(i)}}{\parallel}}{\text{C}}\text{---NR}^{13(i)}\text{R}^{18} \quad (\text{G}^{11e}).$$
$$\text{---Y}^{(i)}\text{---(CH}_2\text{)}_r\text{---(CR}^{13(i)}\text{R}^{19}\text{)}_s\text{---R}^{18}\text{ (G}^{12}\text{),}$$

(D) — N — (G^{13a})

**RCE and Preliminary Amendment
Application No. 09/693,558
Attorney's Docket No.: 25846-0003**



bound to D over the imide nitrogen atom, selected from saturated and unsaturated monocyclic imides with 5 to 7 ring atoms, which, aside from the essential imide nitrogen atom, optionally contains one or two further hetero-atoms selected from N, S and O;

saturated, unsaturated and aromatic anellated, bi-, tri- or tetracyclic imides with 8 to 18 ring atoms, which, aside from the essential imide nitrogen atom, optionally contain one or two further hetero-atoms selected from N, S and O;

saturated and unsaturated, bridged bi-, tri-, tetra- or pentacyclic imides with 8 to 22 ring-atoms, which, aside from the essential imide nitrogen atom, optionally contain one or two further hetero-atoms selected from N, S and O; and

saturated and unsaturated spirocyclic imides, optionally anellated one or two-fold, and with a total of 9 to 23 ring atoms, which, aside from the essential imide nitrogen atom, optionally contain one or two further hetero-atoms selected from N, S and O,

wherein these cyclic imides optionally are substituted by one to five of the same or different groups selected independently from each other from

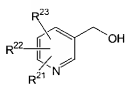
halogen, cyano, C₁-C₆-alkyl, C₁-C₆-alkylidene, trifluoromethyl, C₃-C₈-cycloalkyl, C₃-C₈-cycloalkylidene, phenyl-C₁-C₃-alkyl, phenyl-C₁-C₃-alkylidene, diphenyl-C₁-C₃-alkyl, diphenyl-C₁-C₃-alkylidene, triphenylmethyl, phenyl, hydroxy, C₁-C₆-hydroxyalkyl, C₁-C₆-alkoxy, C₁-C₆-alkoxy entirely or partially substituted by fluorine, benzyloxy, phenoxy, naphthyl, mercapto, C₁-C₆-alkylthio, phenylthio, naphthylthio, pyridylthio, C₁-C₆-alkanesulfonyl, phenylsulfonyl, naphthylsulfonyl, pyridylsulfonyl, sulfo, carboxy, C₂-C₇-carboxyalkyl, C₃-C₇-carboxyalkenyl, C₂-C₇-alkoxycarbonyl,

benzyloxycarbonyl, nitro, amino, C₁-C₆-aminoalkyl, mono-C₁-C₆-alkylamino di(C₁-C₆-alkyl)amino, phenylamino, phenyl-C₁-C₃-alkylamino, pyridylamino, saturated and unsaturated, four to seven-membered heterocycles which contain one or two hetero-atoms selected from N, S and O and are either bound directly or over a methylene group or a methine group, monocyclic aromatic five and six-membered heterocycles which contain one to three hetero-atoms, selected from N, S and O and are either bound directly or over methylene group or a methine group, anellated bicyclic, aromatic and partially hydrogenated carbocyclic ring systems with 8 to 12 ring atoms which are either bound directly over a methylene group or a methine group, and anellated bicyclic aromatic and partially hydrogenated heterocyclic ring systems with 8 to 12 ring atoms, wherein one to three ring atoms are selected from N, S and O and are either bound directly or over a methylene group or a methine group, wherein aromatic ring systems in the substituents **R¹⁽ⁱ⁾**, **R²⁽ⁱ⁾**, **R⁴⁽ⁱ⁾**, **R⁵⁽ⁱ⁾**, **R⁶⁽ⁱ⁾**, **R¹³⁽ⁱ⁾**, **R¹⁴⁽ⁱ⁾**, **R¹⁵⁽ⁱ⁾**, **R¹⁶⁽ⁱ⁾**, **R¹⁷⁽ⁱ⁾**, **R¹⁸**, **R¹⁹**, **Ar¹** and **Ar²**, in the groups **A⁽ⁱ⁾** and **D⁽ⁱ⁾**, in the ring systems $\text{---CR}^{13(i)}\text{R}^{15(i)}$, $\text{---CR}^{13(i)}\text{R}^{18}$, $\text{---NR}^{13(i)}\text{R}^{15(i)}$ and $\text{---NR}^{13(i)}\text{R}^{18(i)}$ as well as substituents and/or substituents in the cyclic imides **G¹³** optionally are independently substituted by one to three of the same or different groups, selected from halogen, cyano, C₁-C₆-alkyl, trifluoromethyl, C₃-C₈-cycloalkyl, benzyl, phenyl, hydroxy, C₁-C₆-hydroxyalkyl, C₁-C₆-alkoxy, C₁-C₆-alkoxy entirely or partially substituted by fluorine, benzyloxy, phenoxy, mercapto, C₁-C₆-alkylthio, phenylthio, sulfo, carboxy, C₂-C₇-carboxyalkyl, C₃-C₇-carboxyalkenyl, C₂-C₇-alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, C₁-C₆-aminoalkyl, mono-C₁-C₆-alkylamino and di(C₁-C₆-alkyl)amino, and in the case of two adjacent residues on the aromatic ring, methylenedioxy, wherein alkyl and cycloalkyl residues in the groups **G** optionally are substituted by one or two of the same or different groups, selected from

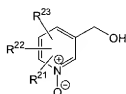
hydroxy, carboxy, C₂-C₇-alkoxycarbonyl, benzyloxycarbonyl, amino, mono-C₁-C₆-alkylamino and di(C₁-C₆-alkyl)amino;

and the stereoisomers or racemic or non-racemic mixtures of stereoisomers thereof, and the tautomers thereof when G is a heterocyclic aromatic ring or an aromatic ring substituted by a hydroxy, mercapto or amino group, and the pharmacologically acceptable acid addition salts thereof;

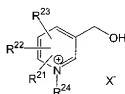
(b) at least one compound having vitamin PP activity or an ester thereof which is selected from the group consisting of compounds of formulae II, IIa, IIb, III, IIIa, IIIb, IIIc, IV, IVa, IVb, V, Va, and Vb:



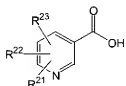
(II)



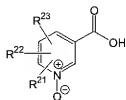
(IIa)



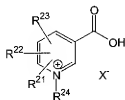
(IIb)



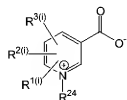
(III)



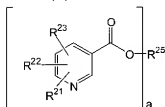
(IIIa)



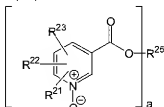
(IIIb)



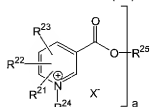
(IIIc)



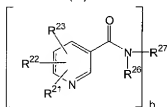
(IV)



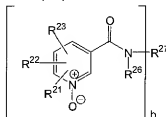
(IVa)



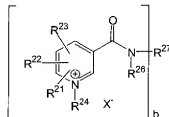
(IVb)



(V)



(Va)



(Vb)

wherein:

- a** is an integer of 1 through 6;
- b** is an integer of 1 through 2;
- X⁻** is selected from the group consisting of fluoride, chloride, bromide, iodide, hydrogensulfate, methanesulfonate, trifluoromethanesulfonate, tosylate, tetrafluoroborate, dihydrogenphosphate, and acetate;
- R²¹** is selected from the group consisting of hydrogen, halogen, cyano, C₁-C₆-alkyl, trifluoromethyl, C₁-C₆-hydroxyalkyl, hydroxy, C₁-C₆-alkoxy, C₁-C₇-alkanoyloxy, C₁-C₆-alkylthio, C₁-C₆-aminoalkyl, amino, C₁-C₆-alkylamino, C₂-C₁₂-dialkylamino, formyl, C₂-C₇-alkoxycarbonyl, aminocarbonyl, C₂-C₇-alkylaminocarbonyl, C₃-C₁₃-dialkylamino-carbonyl and carboxy;
- R²²** is selected from the group consisting of hydrogen, halogen, C₁-C₆-alkyl, trifluoromethyl, C₁-C₆-hydroxyalkyl, hydroxy, C₁-C₆-alkoxy, C₁-C₇-alkanoyloxy, C₁-C₆-aminoalkyl, amino, C₂-C₇-alkoxycarbonyl, aminocarbonyl, and carboxy;
- R²³** is selected from the group consisting of hydrogen, C₁-C₆-alkyl, and C₁-C₆-hydroxyalkyl;
- R²⁴** is selected from the group consisting of C₁-C₆-alkyl, C₃-C₆-alkenyl, C₂-C₆-hydroxyalkyl, C₂-C₆-alkoxyalkyl and benzyl;
- R²⁵** is such that the alcohol **R²⁵(OH)_a** is selected from monovalent, linear and branched, C₁-₁₀-alkanols and ω-dialkylaminoalkanols, benzyl alcohol, divalent linear and branched C₂-₁₀-diols, mono- or divalent C₅-₇-cycloalkanols, C₅-₇-cycloalkanediols, C₅-₇-cycloalkanemethanols, saturated C₅-₇-heterocyclomethanols, glycerin, 2,2-bis(hydroxymethyl)-1-octanol, erythritol, pentaerythritol, arabitol, xylitol, sorbitol, mannitol, isosorbitol, tetra(hydroxymethyl)cyclohexanol, and inositol;
- R²⁶** is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₁-C₆-hydroxyalkyl, C₃-C₆-alkoxyalkyl, C₁-C₆-aminoalkyl, C₄-C₁₂-dialkylaminoalkyl and carboxymethyl;

when b is 1,

R²⁷ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₁-C₆-hydroxyalkyl, C₃-C₆-alkoxyalkyl, C₁-C₆-aminoalkyl, C₄-C₁₂-dialkylaminoalkyl and carboxymethyl;

when b is 2,

R²⁷ is C₂-C₁₀-alkylene, or C₅-C₁₀-alkylene wherein a methylene group is isosterically replaced by O, NH or N-alkyl; and their C=S analogs of C=O groups, and the pharmaceutical acceptable salts thereof; and

(c) at least one physiologically acceptable carrier.

58. (New) The composition of claim 57, wherein the compound of formula (I) is selected from the group consisting of:

N-[2-(1-benzylpiperidin-4-yl)-ethyl]-3-(pyridin-3-yl)-propionamide;
N-{2-[1-(2-phenylethyl)-piperidin-4-yl]-ethyl}-3-(pyridin-3-yl)-propionamide;
N-{2-[1-(4-phenylbutyl)-piperidin-4-yl]-ethyl}-3-(pyridin-3-yl)-propionamide;
N-{2-[1-(4-hydroxy-4-phenylbutyl)-piperidin-4-yl]-ethyl}-3-(pyridin-3-yl)-propionamide;
N-[2-(1-diphenylmethylpiperidin-4-yl)-ethyl]-3-(pyridin-3-yl)-propionamide;
N-[3-(1-diphenylmethylpiperidin-4-yl)-propyl]-3-(pyridin-3-yl)-propionamide;
N-[4-(1-diphenylmethylpiperidin-4-yl)-butyl]-3-(pyridin-3-yl)-propionamide;
N-[4-(1-benzylpiperidin-4-yl)-butyl]-3-(pyridin-3-yl)-acrylamide;
N-[4-[1-(2-phenylethyl)-piperidin-4-yl]-butyl]-3-(pyridin-3-yl)-acrylamide;
N-[4-[1-(4-biphenylmethyl)-piperidin-4-yl]-butyl]-3-(pyridin-3-yl)-acrylamide;
N-[4-[1-(1-naphthylmethyl)-piperidin-4-yl]-butyl]-3-(pyridin-3-yl)-acrylamide;
N-[4-[1-(9-anthrylmethyl)-piperidin-4-yl]-butyl]-3-(pyridin-3-yl)-acrylamide;
N-[4-[1-(cyclohexylphenylmethyl)-piperidin-4-yl]-butyl]-3-(pyridin-3-yl)-acrylamide;
N-[4-[1-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)-piperidin-4-yl]-butyl]-3-(pyridin-3-yl)-acrylamide;
N-[2-(1-diphenylmethylpiperidin-4-yl)-ethyl]-3-(pyridin-3-yl)-acrylamide;
N-[3-(1-diphenylmethylpiperidin-4-yl)-propyl]-3-(pyridin-3-yl)-acrylamide;
N-[5-(1-diphenylmethylpiperidin-4-yl)-pentyl]-3-(pyridin-3-yl)-acrylamide;
N-[6-(1-diphenylmethylpiperidin-4-yl)-hexyl]-3-(pyridin-3-yl)-acrylamide;
N-[4-(1-diphenylmethylpiperidin-4-yl)-butyl]-5-(pyridin-3-yl)-2,4-pentadienic acid amide;
N-(4-{1-[bis-(4-fluorophenyl)-methyl]-piperidin-4-yl}-butyl)-3-(pyridin-3-yl)-acrylamide;
N-(4-{1-[bis-(2-chlorophenyl)-methyl]-piperidin-4-yl}-butyl)-3-(pyridin-3-yl)-acrylamide;
N-[4-(1-diphenylmethylpiperidin-4-yl)-butyl]-3-(2-fluoropyridin-3-yl)-acrylamide;

N-[4-(1-diphenylmethylpiperidin-4-yl)-butyl]-3-(6-fluoropyridin-3-yl)-acrylamide;
 N-[4-(1-diphenylmethylpiperidin-4-yl)-butyl]-3-(pyridin-3-yl)-acrylamide;
 N-[4-(1-diphenylmethylpiperidin-4-yl)-butyl]-3-(pyridin-3-yl)-acrylamide dihydrochloride;
 N-[4-(1-diphenylmethylpiperidin-4-yl)-butyl]-3-(pyridin-3-yl)-acrylamide methanesulfonate;
 N-[4-(1-acetyl-piperidin-4-yl)-butyl]-3-(pyridin-3-yl)-propionamide;
 N-[4-(1-benzoyl-piperidin-4-yl)-butyl]-3-(pyridin-3-yl)-propionamide;
 N-[4-(1-diphenylacetyl-piperidin-4-yl)-butyl]-3-(pyridin-3-yl)-propionamide;
 N-{4-[1-(9-oxo-9H-fluoren-4-carbonyl)-piperidin-4-yl]-butyl}-3-(pyridin-3-yl)-propionamide;
 N-[4-(1-methylsulfonyl-piperidin-4-yl)-butyl]-3-(pyridin-3-yl)-propionamide;
 N-{4-[1-(2-naphthyl-sulfonyl)-piperidin-4-yl]-butyl}-3-(pyridin-3-yl)-propionamide;
 N-[4-(1-benzyl-piperidin-4-yl)-butyl]-3-(pyridin-3-yl)-propionamide;
 N-(4-{1-[bis-(2-chlorophenyl)-methyl]-piperidin-4-yl}-butyl)-3-(pyridin-3-yl)-propionamide;
 N-{4-[1-(phenylpyridin-3-yl-methyl)-piperidin-4-yl]-butyl}-3-(pyridin-3-yl)-propionamide;
 N-{4-[1-(9H-fluoren-9-yl)-piperidin-4-yl]-butyl}-3-(pyridin-3-yl)-propionamide;
 N-{4-[1-(6,11-dihydrodibenzo[b,e]oxepin-11-yl)-piperidin-4-yl]-butyl}-3-(pyridin-3-yl)-propionamide;
 N-{4-[1-(1-naphthylamino-carbonyl)-piperidin-4-yl]-butyl}-3-(pyridin-3-yl)-propionamide;
 N-[4-(1-diphenylamino-carbonyl-piperidin-4-yl)-butyl]-3-(pyridin-3-yl)-propionamide;
 N-{4-[1-(10,11-dihydro-dibenzo[b,f]azepin-5-yl-carbonyl)-piperidin-4-yl]-butyl}-3-(pyridin-3-yl)-propionamide;
 N-[4-(1-diphenylphosphinoyl-piperidin-4-yl)-butyl]-3-(pyridin-3-yl)-propionamide;
 N-[4-(1-diphenylmethylpiperidin-4-yl)-butyl]-3-(2-fluoropyridin-3-yl)-propionamide;
 N-[4-(1-diphenylmethylpiperidin-4-yl)-butyl]-3-(5-fluoropyridin-3-yl)-propionamide;
 N-[4-(1-diphenylmethylpiperidin-4-yl)-butyl]-2-fluoro-3-(pyridin-3-yl)-propionamide;
 N-[4-(1-diphenylmethylpiperidin-4-yl)-butyl]-2,2-difluoro-3-(pyridin-3-yl)-propionamide;
 N-[5-(1-diphenylmethylpiperidin-4-yl)-pentyl]-3-(pyridin-3-yl)-propionamide;
 N-[6-(1-diphenylmethylpiperidin-4-yl)-hexyl]-3-(pyridin-3-yl)-propionamide;
 N-[2-(1-diphenylmethylpiperidin-4-yl)-ethyl]-5-(pyridin-3-yl)-pentanoic acid amide;

N-[4-(1-diphenylmethylpiperidin-4-yl)-butyl]-5-(pyridin-3-yl)-pentanoic acid amide;
 N-[4-(1-diphenylmethylpiperidin-4-yl)-butyl]-N-hydroxy-3-(pyridin-3-yl)-propionamide;
 N-[4-(1-diphenylmethylpiperidin-4-yl)-butyl]-2-hydroxy-3-(pyridin-3-yl)-propionamide;
 N-[4-(1-diphenylmethylpiperidin-4-yl)-butyl]-3-hydroxy-3-(pyridin-3-yl)-propionamide;
 N-[4-(1-diphenylmethylpiperidin-4-yl)-butyl]-3-(pyridin-3-yl)-propionamide;
 N-[4-(1-methylsulfonylpiperidin-4-yl)-butyl]-3-(pyridin-3-yl)-acrylamide;
 N-[4-[1-(2-naphthylsulfonyl)-piperidin-4-yl]-butyl]-3-(pyridin-3-yl)-acrylamide;
 N-[4-[1-(2-naphthylsulfonyl)-piperidin-4-yl]-butyl]-5-(pyridin-3-yl)-2,4-pentadienic
 acid amide;
 N-[4-[1-(1-naphthylaminocarbonyl)-piperidin-4-yl]-butyl]-3-(pyridin-3-yl)-acrylamide;
 N-[4-(1-diphenylaminocarbonylpiperidin-4-yl)-butyl]-3-(pyridin-3-yl)-acrylamide;
 N-[4-(1-diphenylaminocarbonyl-piperidin-4-yl)-butyl]-5-(pyridin-3-yl)-2,4-pentadienic
 acid amide;
 N-[4-[1-(10,11-dihydrodibenzo[b,f]azepin-5-yl-carbonyl)-piperidin-4-yl]-butyl]-3-
 (pyridin-3-yl)-acrylamide;
 N-[4-(1-diphenylphosphinoyl-piperidin-4-yl)-butyl]-3-(pyridin-3-yl)-acrylamide;
 N-[4-(1-acetyl-piperidin-4-yl)-butyl]-3-(pyridin-3-yl)-acrylamide;
 N-[4-(1-diphenylacetyl-piperidin-4-yl)-butyl]-3-(pyridin-3-yl)-acrylamide;
 N-[4-[1-(3,3-diphenylpropionyl)-piperidin-4-yl]-butyl]-3-(pyridin-3-yl)-acrylamide;
 N-[4-(1-benzoylpiperidin-4-yl)-butyl]-3-(pyridin-3-yl)-acrylamide;
 N-[4-(1-benzoylpiperidin-4-yl)-butyl]-5-(pyridin-3-yl)-2,4-pentadienic acid amide;
 N-[4-[1-(9-oxo-9H-fluoren-4-yl-carbonyl)-piperidin-4-yl]-butyl]-3-(pyridin-3-yl)-
 acrylamide;
 N-[4-[1-(phenylpyridin-3-yl-methyl)-piperidin-4-yl]-butyl]-3-(pyridin-3-yl)-acrylamide;
 N-[4-[1-(phenylpyridin-4-yl-methyl)-piperidin-4-yl]-butyl]-3-(pyridin-3-yl)-acrylamide;
 N-[4-[1-(6,11-dihydrodibenzo[b,e]oxepin-11-yl)-piperidin-4-yl]-butyl]-3-(pyridin-3-yl)-
 acrylamide;
 N-[4-[1-(6,11-dihydrodibenzo[b,e]thiepin-11-yl)-piperidin-4-yl]-butyl]-3-(pyridin-3-yl)-
 acrylamide;
 N-[7-(1-diphenylmethylpiperidin-4-yl)-heptyl]-3-(pyridin-3-yl)-acrylamide;
 N-[8-(1-diphenylmethylpiperidin-4-yl)-octyl]-3-(pyridin-3-yl)-acrylamide;
 N-[3-(1-diphenylmethylpiperidin-4-yloxy)-propyl]-3-(pyridin-3-yl)-acrylamide;
 N-[3-(1-benzylpiperidin-4-yloxy)-propyl]-3-(pyridin-3-yl)-acrylamide;
 N-[2-(1-diphenylmethylpiperidin-4-yl)-ethyl]-5-(pyridin-3-yl)-2,4-pentadienic acid
 amide;

N-[4-(1-diphenylmethylpiperidin-4-yl)-butyl]-5-(pyridin-3-yl)-2,4-pentadienic acid amide;

N-[5-(1-diphenylmethylpiperidin-4-yl)-pentyl]-5-(pyridin-3-yl)-2,4-pentadienic acid amide;

N-[6-(1-diphenylmethylpiperidin-4-yl)-hexyl]-5-(pyridin-3-yl)-2,4-pentadienic acid amide;

N-[4-(4-diphenylmethylpiperazin-1-yl)-3-hydroxy-butyl]-3-pyridin-3-yl-acrylamide;

N-[3-(4-diphenylmethylpiperazin-1-yl)-propoxy]-3-pyridin-3-yl-acrylamide;

N-[4-(4-diphenylmethylpiperazin-1-yl)-4-oxo-butyl]-3-pyridin-3-yl-acrylamide;

N-[3-(4-diphenylmethylpiperazin-1-sulfonyl)-propyl]-3-pyridin-3-yl-acrylamide;

N-{2-[2-(4-diphenylmethylpiperazin-1-yl)-ethoxy]-ethyl}-3-pyridin-3-yl-acrylamide;

N-(4-{4-[bis-(4-fluorophenyl)-methyl]-piperazin-1-yl}-but-2-ynyl)-3-pyridin-3-yl-acrylamide;

N-[4-[4-(4-carboxyphenyl-phenylmethyl)-piperazin-1-yl]-butyl]-3-pyridin-3-yl-acrylamide;

N-(4-{4-[4-(aminophenyl)-phenylmethyl]-piperazin-1-yl}-butyl)-3-pyridin-3-yl-acrylamide;

N-{4-[4-(9H-fluoren-9-yl)-piperazin-1-yl]-butyl}-2-(pyridin-3-yloxy)-acetamide;

N-{5-[4-(9H-fluoren-9-yl)-piperazin-1-yl]-pentyl}-3-pyridin-3-yl-acrylamide;

N-{6-[4-(9H-fluoren-9-yl)-piperazin-1-yl]-hexyl}-3-pyridin-3-yl-acrylamide;

3-pyridin-3-yl-N-{4-[4-(1,2,3,4-tetrahydronaphthalen-1-yl)-piperazin-1-yl]-butyl}-acrylamide;

3-pyridin-3-yl-N-{4-[4-(5,6,7,8-tetrahydronaphthalen-1-yl)-piperazin-1-yl]-butyl}-acrylamide;

N-{4-[4-(naphthalen-1-yl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-acrylamide;

N-[4-(4-biphenyl-2-yl-piperazin-1-yl)-butyl]-3-pyridin-3-yl-propionamide;

N-[5-(4-biphenyl-2-yl-piperazin-1-yl)-pentyl]-3-pyridin-3-yl-acrylamide;

N-[6-(4-biphenyl-2-yl-piperazin-1-yl)-hexyl]-3-pyridin-3-yl-acrylamide;

N-[4-(4-biphenyl-2-yl-piperazin-1-yl)-butyl]-2-(pyridin-3-yloxy)-acetamide;

N-[4-(4-biphenyl-2-yl-piperazin-1-yl)-butyl]-5-(pyridin-3-yl)-penta-2,4-dienic acid amide;

N-{4-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-propionamide;

N-{5-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)-piperazin-1-yl]-pentyl}-3-pyridin-3-yl-acrylamide;

N-[6-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)-piperazin-1-yl]-hexyl]-3-pyridin-3-yl-acrylamide;

N-[4-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)-piperazin-1-yl]-butyl]-5-(pyridin-3-yl)-penta-2,4-dienic acid amide;

N-[4-[4-(6,11-dihydro-dibenzo[b,e]oxepin-11-yl)-piperazin-1-yl]-butyl-3-pyridin-3-yl-propionamide;

N-[2-[4-(6,11-dihydro-dibenzo[b,e]thiepin-11-yl)-piperazin-1-yl]-ethyl]-3-pyridin-3-yl-acrylamide;

N-[4-(4-diphenylacetyl)piperazin-1-yl)-butyl]-3-pyridin-3-yl-acrylamide;

N-[4-(4-benzoylpiperazin-1-yl)-butyl]-3-pyridin-3-yl-acrylamide;

N-[4-[4-(2-aminobenzoyl)-piperazin-1-yl]-butyl]-3-pyridin-3-yl-acrylamide;

N-[4-[4-(4-carboxybenzoyl)-piperazin-1-yl]-butyl]-3-pyridin-3-yl-acrylamide;

N-[4-[4-(biphenyl-2-carbonyl)-piperazin-1-yl]-butyl]-3-pyridin-3-yl-acrylamide;

N-[4-[4-(9-oxo-9H-fluoren-4-carbonyl)-piperazin-1-yl]-butyl]-3-pyridin-3-yl-acrylamide;

N-[4-[4-(furan-2-carbonyl)-piperazin-1-yl]-butyl]-3-pyridin-3-yl-acrylamide;

N-[4-[4-(naphthalen-1-yl-aminocarbonyl)-piperazin-1-yl]-butyl]-3-pyridin-3-yl-propionamide;

N-[4-[4-(diphenylaminocarbonyl)-piperazin-1-yl]-butyl]-3-pyridin-3-yl-acrylamide;

N-[4-[4-(naphthalen-2-sulfonyl)-piperazin-1-yl]-butyl]-3-pyridin-3-yl-acrylamide;

N-[4-(4-diphenylphosphinonyl-piperazin-1-yl)-butyl]-3-pyridin-3-yl-acrylamide;

N-[4-(4-biphenyl-2-yl-piperazin-1-yl)-butyl]-3-pyridin-3-yl-acrylamide;

N-[4-[4-(9H-fluoren-9-yl)-piperazin-1-yl]-butyl]-3-pyridin-3-yl-acrylamide;

N-[4-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)-piperazin-1-yl]-butyl]-3-pyridin-3-yl-acrylamide;

N-[4-(4-phenylpiperidin-1-yl)-butyl]-3-pyridin-3-yl-acrylamide;

N-[4-[4-(1H-indol-3-yl)-piperidin-1-yl]-butyl]-3-pyridin-3-yl-acrylamide;

N-[4-[4-(2-oxo-2,3-dihydrobenzimidazol-1-yl)-piperidin-1-yl]-butyl]-3-pyridin-3-yl-acrylamide;

N-[4-(4-benzotriazol-1-yl-piperidin-1-yl)-butyl]-3-pyridin-3-yl-acrylamide;

N-[4-[4-(hydroxy-diphenylmethyl)-piperidin-1-yl]-butyl]-2-(pyridin-3-yloxy)-acetamide;

N-[4-(4,4-diphenylpiperidin-1-yl)-butyl]-3-pyridin-3-yl-acrylamide;

N-[4-[4-(6,11-dihydrodibenzo[b,e]thiepin-11-yliden)-piperidin-1-yl]-butyl]-3-pyridin-3-ylpropionamide dihydrochloride semi-isopropanol;

N-{4-[4-(6,11-dihydrodibenzo[b,e]thiepin-11-yliden)-piperidin-1-yl]-butyl}-5-pyridin-3-yl-pentanamide;
 N-[4-[4-(4,9-dihydro-thieno[2,3-b]-benzo[e]thiepin-4-yliden)-piperidin-1-yl]-butyl]-3-pyridin-3-yl-propionamide;
 N-{4-[4-(4,9-dihydro-thieno[2,3-b]-benzo[e]thiepin-4-yliden)-piperidin-1-yl]-butyl}-3-pyridin-3-yl-acrylamide;
 N-[4-(4-diphenylphosphinoxyloxy)pyrrolidin-1-yl)-butyl]-3-pyridin-3-yl-acrylamide;
 N-[4-(1,4-dioxo-8-azaspiro[4.5]dec-8-yl)-butyl]-3-pyridin-3-yl-acrylamide;
 N-[4-(2,5-dioxo-3,4-diphenyl-2,5-dihydropyrrol-1-yl)-butyl]-3-pyridin-3-yl-acrylamide;
 N-[4-(2,6-dioxo-4-phenylpiperidin-1-yl)-butyl]-3-pyridin-3-yl-acrylamide;
 N-[4-(1,3-dioxo-4,5,6,7-tetraphenyl-1,3-dihydro-isoindol-2-yl)-butyl]-3-pyridin-3-yl-acrylamide;
 N-[4-(3-benzyl-2,4,5-trioxo-imidazolidin-1-yl)-butyl]-3-pyridin-3-yl-acrylamide;
 N-[4-(1,3,10-trioxo-1,4,5,6,10,10a-hexahydro-acenaphtho-[1,8a-c]pyrrol-2-yl)-butyl]-3-pyridin-3-yl-acrylamide;
 N-[4-(2,5-dioxo-4,4-diphenylimidazolidin-1-yl)-butyl]-3-pyridin-3-yl-acrylamide;
 N-[4-(2,5-dioxo-3-phenyl-2,5-dihydropyrrol-1-yl)-butyl]-3-pyridin-3-yl-acrylamide;
 N-[3-(2,5-dioxo-3,4-diphenyl-2,5-dihydro-pyrrol-1-yl)-propyl]-3-pyridin-3-yl-acrylamide;
 N-[4-(3-pyridin-3-yl-acroylamino)-butyl]-2,3:5,6-dibenzobicyclo[2.2.2]octan-7,8-dicarboximide;
 N-[4-(5-benzyliden-2,4-dioxothiazolidin-3-yl)-butyl]-3-pyridin-3-yl-acrylamide;
 N-[4-(4-benzyl-2,6-dioxopiperazin-1-yl)-butyl]-3-pyridin-3-yl-acrylamide;
 N-[6-(2,5-dioxo-3,4-diphenyl-2,5-dihydropyrrol-1-yl)-hexyl]-3-pyridin-3-yl-acrylamide;
 N-[4-(2,5-dioxo-3,4-diphenyl-2,5-dihydropyrrol-1-yl)-butyl]-3-pyridin-3-yl-propionamide;
 N-[4-(1,3-dioxo-1,3-dihydro-isoindol-2-yl)-butyl]-3-pyridin-3-yl-acrylamide;
 N-[4-(1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl)-butyl]-3-(1-oxidopyridin-3-yl)-acrylamide;
 N-[6-(1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl)-hexyl]-3-pyridin-3-yl-acrylamide;
 N-[2-(1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl)-ethyl]-3-pyridin-3-yl-acrylamide;
 N-[4-(1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl)-butyl]-3-pyridin-3-yl-acrylamide;
 N-[8-bis-(4-fluorophenyl)-octyl]-3-pyridin-3-yl-acrylamide hydrochloride;
 N-[6-(3,3-diphenylureido)-hexyl]-3-pyridin-3-yl-acrylamide;
 N-[4-(1-phenyl-1,2,4,5-tetrahydrobenzo[d]azepin-3-yl)-butyl]-3-pyridin-3-yl-acrylamide;

N-(8,8-diphenyloctyl)-3-pyridin-3-yl-acrylamide;
 N-(8-hydroxy-8,8-diphenyloctyl)-3-pyridin-3-yl-acrylamide;
 N-[4-(3,3-diphenylureido)-butyl]-3-pyridin-3-yl-acrylamide;
 N-[4-(1H,3H-benzo[de]isoquinolin-2-yl)-butyl]-3-pyridin-3-yl-acrylamide;
 N-[6-(10,11-dihydro-dibenzo[b,f]azepin-5-yl-carbonyl-amino)-hexyl]-3-pyridin-3-yl-acrylamide;
 3-pyridin-3-yl-N-[6-(tosylamino)-hexyl]-acrylamide;
 N-[4-(1,1-dioxo-1-thia-2-aza-acenaphthylen-2-yl)-butyl]-3-pyridin-3-yl-acrylamide;
 N-(6-hydroxy-6,6-diphenylhexyl)-3-pyridin-3-yl-acrylamide;
 N-(6,6-diphenyl-hex-5-enyl)-3-pyridin-3-yl-acrylamide;
 N-[4-(4,5-diphenylimidazol-1-yl)-butyl]-3-pyridin-3-yl-acrylamide;
 N-[4-(trans-2-phenylcyclopropylcarbonylamino)butyl]-3-pyridin-3-yl-acrylamide;
 N-(5-hydroxy-5,5-diphenyl-pentyl)-3-pyridin-3-yl-acrylamide;
 N-(7-phenylheptyl)-3-pyridin-3-yl-acrylamide;
 N-(4-diphenylacetyl-amino-butyl)-3-pyridin-3-yl-acrylamide;
 N-[4-(benzhydrylamino)-butyl]-3-pyridin-3-yl-acrylamide; and
 N-(4-{[2-(benzhydrylmethylamino)-ethyl]-methylamino}-butyl)-3-pyridin-3-yl-acrylamide.

59. (New) The composition of claim 57 further comprising a cancerostatic or immunosuppressive agent that is not a compound of formula (I).

60. (New) The composition of claim 57, wherein the compounds of formula (I) and the compound of formulae (II) to (Vb) are contained separately within the composition.

61. (New) The composition of claim 57, wherein the compound of formula (I) and the compound of formulae (II) to (Vb) are present in separate dosage forms, and the dosage forms are packaged together for co-administration.

62. (New) The composition of claim 57 where:

R^{21} is selected from the group consisting of hydrogen, halogen, cyano, C_{1-6} alkyl, trifluoromethyl, C_{1-6} hydroxyalkyl, hydroxy, C_{1-6} alkoxy, C_{2-7} alkanoyloxy, C_{1-6} alkylthio, C_{1-6} aminoalkyl, amino, C_{1-6} alkylamino, di(C_{1-6} alkyl)amino, formyl, alkoxycarbonyl, aminocarbonyl, (C_{1-6} alkyl)aminocarbonyl, di(C_{1-6} alkyl)aminocarbonyl, and carboxy;

R²² is selected from the group consisting of hydrogen, halogen, C₁₋₆ alkyl, trifluoromethyl, C₁₋₆ hydroxyalkyl, hydroxy, alkoxy, C₂₋₇ alkanoyloxy, C₁₋₆ aminoalkyl, amino, (C₁₋₆ alkoxy)carbonyl, aminocarbonyl, and carboxy;

R²³ is selected from the group consisting of hydrogen, C₁₋₆ alkyl, and C₁₋₆ hydroxyalkyl;

R²⁴ is selected from the group consisting of C₁₋₆ alkyl, C₃₋₆ alkenyl, C₂₋₆ hydroxyalkyl, C₂₋₆ alkoxyalkyl, and benzyl;

R²⁶ is selected from the group consisting of hydrogen, C₁₋₆ alkyl, C₁₋₆ hydroxyalkyl, C₃₋₆ alkoxyalkyl, C₁₋₆ aminoalkyl, C₄₋₁₂ dialkylaminoalkyl, and carboxymethyl;

when b is 1, R²⁷ is selected from the group consisting of hydrogen, C₁₋₆ alkyl, C₁₋₆ hydroxyalkyl, C₃₋₆ alkoxyalkyl, C₁₋₆ aminoalkyl, C₄₋₁₂ dialkylaminoalkyl, and carboxymethyl; and

when b is 2, R²⁷ is C₂₋₁₀ alkylene in which a methylene group is optionally replaced by O, NH, or N-alkyl.

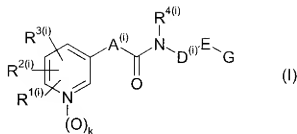
63. (New) The composition of claim 57 where the compound having vitamin PP activity or an ester thereof is selected from the group consisting of nicotinic acid, nicotinamide, and their pharmaceutically acceptable ester and amide derivatives, pharmaceutical acceptable salts, quaternary, and addition salts, N-oxides and their C=S derivatives and their isomers.

64. (New) The composition of claim 63 where the compound having vitamin PP activity or an ester thereof, is selected from the group consisting of nicotinic acid, nicotinamide, and mixtures thereof.

65. (New) The composition of claim 57 where the compound having vitamin PP activity is tryptophan.

66. (New) A pharmaceutical composition comprising:

(a) at least one compound selected from the group consisting of compounds of formula I:



where:

each of R¹⁽ⁱ⁾, R²⁽ⁱ⁾, R³⁽ⁱ⁾, and R⁴⁽ⁱ⁾ are independently selected from the group consisting of hydrogen, halogen, hydroxy, trifluoromethyl, cyano, aliphatic hydrocarbyl residue optionally substituted with one or more functional groups and optionally interrupted by one or more heteroatoms, and aromatic hydrocarbyl residue; or R¹⁽ⁱ⁾ and R²⁽ⁱ⁾ together form a bridge;

k is 0 or 1;

A⁽ⁱ⁾ and D⁽ⁱ⁾ are independently a saturated or unsaturated optionally substituted aliphatic hydrocarbyl residue, optionally interrupted by a heteroatom or a functional group;

E is a bond or is a heterocyclic residue having one or two ring nitrogen atoms or one ring nitrogen atom and one ring oxygen atom, linked to D⁽ⁱ⁾ and G through a ring nitrogen atom and a ring carbon atom or through two ring nitrogen atoms; and

G is selected from the group consisting of hydrogen, an aliphatic or araliphatic residue, an unsaturated or aromatic monocyclic or polycyclic carbocyclic residue, a saturated, unsaturated, or aromatic monocyclic or polycyclic heterocyclic residue, bonded directly or through a functional group derived from a carbon, nitrogen, oxygen, sulfur, or phosphorus atom,

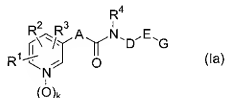
and the stereoisomers or racemic or non-racemic mixtures of stereoisomers thereof,

and the tautomers thereof when G is a heterocyclic aromatic ring or an aromatic ring substituted by a hydroxy, mercapto, or amino group,

and the pharmacologically acceptable acid addition salts thereof;

- (b) a compound having vitamin PP activity or an ester thereof; and
- (c) at least one physiologically acceptable carrier.

67. (New): The composition of claim 66 where the compound(s) of formula I are selected from the group consisting of compounds of formula Ia:



where:

R¹ is selected from the group consisting of hydrogen, fluorine, methyl, trifluoromethyl, and hydroxy;

R² and R³ are each hydrogen;

R⁴ is hydrogen or hydroxy;

A is selected from the group consisting of ethylene, propylene, or butylene, each optionally substituted with hydroxy or one or two fluorine atoms, -OCH₂-, -SCH₂-, ethenylene, vinylene, and butadienylene;

D is selected from the group consisting of C₂-C₆ alkylene and C₂-C₆ alkenylene, where the double bond may also join D and E;

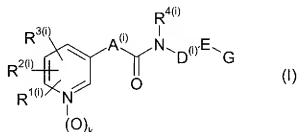
E is selected from the group consisting of pyrrolidine, piperidine, hexahydroazepine, and morpholine; and

G is selected from the group consisting of benzyl, phenethyl, fluorenylmethyl, anthrylmethyl, diphenylmethyl, fluorenyl, dihydrodibenzocycloheptenyl, furylmethyl, thienylmethyl, thiazolylmethyl, pyridylmethyl, benzothienylmethyl, quinolylmethyl, phenylthienylmethyl, phenylpyridylmethyl, dihydrodibenzoxepinyl, dihydrodibenzothiepinyl, acetyl, pivaloyl, phenylacetyl, diphenylacetyl, diphenylpropionyl, naphthylacetyl, benzoyl, naphthoyl, anthrylcarbonyl, oxofluorenylcarbonyl, oxodihydroanthrylcarbonyl, dioxodihydroanthrylcarbonyl, furoyl, pyridylcarbonyl, chromonylcarbonyl, quinolylcarbonyl, naphthylaminocarbonyl, dibenzylaminocarbonyl, benzylphenylaminocarbonyl, diphenylaminocarbonyl, indolin-1-ylcarbonyl, dihydrodibenzazepinyl-N-carbonyl, tetrahydroquinolinyl-N-carbonyl, tetrahydrobenzazepinyl-N-carbonyl, methanesulfonyl, benzenesulfonyl, p-toluenesulfonyl, naphthalenesulfonyl, quinolinesulfonyl, and diphenylphosphinoyl, where

each aromatic ring system may be independently substituted with one to three substituents selected independently from the group consisting of halogen, cyano, C₁-C₆ alkyl, trifluoromethyl, C₃-C₈ cycloalkyl, phenyl, benzyl, hydroxy, C₁-C₆ alkoxy (optionally partially or completely fluorinated), benzyloxy, phenoxy, mercapto, C₁-C₆ alkylthio, carboxy, C₁-C₆ alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, C₁-C₆ alkylamino, and di(C₁-C₆ alkyl)amino, or two adjacent substituents together form methylenedioxy.

68. (New) A pharmaceutical composition comprising:

(a) at least one compound selected from the group consisting of compounds of formula I:



where:

each of R¹⁽ⁱ⁾, R²⁽ⁱ⁾, R³⁽ⁱ⁾, and R⁴⁽ⁱ⁾ are independently selected from the group consisting of hydrogen, halogen, hydroxy, trifluoromethyl, cyano, aliphatic hydrocarbyl residue optionally substituted with one or more functional groups and optionally interrupted by one or more heteroatoms, and aromatic hydrocarbyl residue; or R¹⁽ⁱ⁾ and R²⁽ⁱ⁾ together form a bridge;

k is 0 or 1;

A⁽ⁱ⁾ and D⁽ⁱ⁾ are independently a saturated or unsaturated optionally substituted aliphatic hydrocarbyl residue, optionally interrupted by a heteroatom or a functional group;

E is a bond or is a heterocyclic residue having one or two ring nitrogen atoms or one ring nitrogen atom and one ring oxygen atom, linked to D⁽ⁱ⁾ and G through a ring nitrogen atom and a ring carbon atom or through two ring nitrogen atoms; and

G is selected from the group consisting of hydrogen, an aliphatic or araliphatic residue, an unsaturated or aromatic monocyclic or polycyclic carbocyclic residue, a

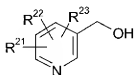
saturated, unsaturated, or aromatic monocyclic or polycyclic heterocyclic residue, bonded directly or through a functional group derived from a carbon, nitrogen, oxygen, sulfur, or phosphorus atom,

and the stereoisomers or racemic or non-racemic mixtures of stereoisomers thereof,

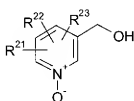
and the tautomers thereof when G is a heterocyclic aromatic ring or an aromatic ring substituted by a hydroxy, mercapto, or amino group,

and the pharmacologically acceptable acid addition salts thereof;

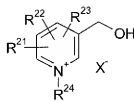
(b) at least one compound selected from the group consisting of compounds of formulae II, IIa, IIb, III, IIIa, IIIb, IIIc, IV, IVa, IVb, V, Va, and Vb:



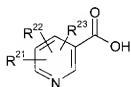
(II)



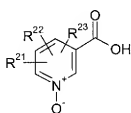
(IIa)



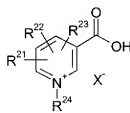
(IIb)



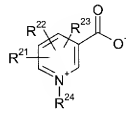
(III)



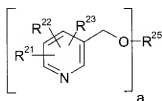
(IIIa)



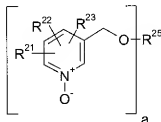
(IIIb)



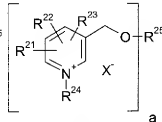
(IIIc)



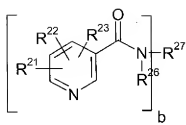
(IV)



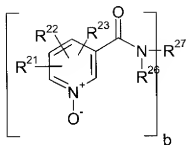
(IVa)



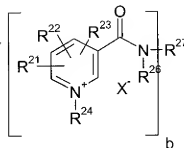
(IVb)



(V)



(Va)



(Vb)

where:

a is an integer of 1 through 6;

b is an integer of 1 through 2;

X⁻ is selected from the group consisting of fluoride, chloride, bromide, iodide, hydrogensulfate, mesylate, trifluoromethanesulfonate, tosylate, tetrafluoroborate, dihydrogenphosphate, and acetate;

R²¹ is selected from the group consisting of hydrogen, halogen, cyano, alkyl, trifluoromethyl, hydroxyalkyl, hydroxy, alkoxy, alkanoyloxy, alkylthio, aminoalkyl, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, and carboxy;

R²² is selected from the group consisting of hydrogen, halogen, alkyl, trifluoromethyl, hydroxyalkyl, hydroxy, alkoxy, alkanoyloxy, aminoalkyl, amino, alkoxycarbonyl, aminocarbonyl, and carboxy;

R²³ is selected from the group consisting of hydrogen, alkyl, and hydroxyalkyl;

R²⁴ is selected from the group consisting of alkyl, alkenyl, hydroxyalkyl, alkoxyalkyl, and aralkyl;

R²⁵ is the residue of an alcohol R²⁵(OH)_a selected from monovalent linear and branched C₁₋₁₀ alkanols and ω-dialkylaminoalkanols, benzyl alcohol, divalent linear and branched C₂₋₁₀ diols, mono- or divalent C₅₋₇ cycloalkanols, C₅₋₇ cycloalkanediols, C₅₋₇ cycloalkanemethanols, saturated C₅₋₇ heterocyclomethanols, tri-, tetra-, penta-, and hexavalent linear, branched, and cyclic alcohols with 3 to 10 carbon atoms, glycerin, 2,2-bis(hydroxymethyl)-1-octanol, erythritol, pentaerythritol, arabitol, xylitol, sorbitol, mannitol, isosorbitol, tetra(hydroxymethyl)cyclohexanol, and inositol;

R²⁶ is selected from the group consisting of hydrogen, alkyl, hydroxyalkyl, alkoxyalkyl, aminoalkyl, dialkylaminoalkyl, and carboxymethyl;
 when b is 1, R²⁷ is selected from the group consisting of hydrogen, alkyl, hydroxyalkyl, alkoxyalkyl, aminoalkyl, dialkylaminoalkyl, and carboxymethyl;
 when b is 2, R²⁷ is alkylene in which a methylene group is optionally replaced by O, NH, or N-alkyl;
 and the C=S analogs of C=O groups,
 and the acid addition salts or the sodium, potassium, magnesium, calcium or aluminum salts thereof; and
 (c) at least one physiologically acceptable carrier.

69. (New) The composition of claim 57, which is:

in a solid, peroral administrable form as a tablet, capsule, coated tablet, optionally as sustained action or gastric fluid-resistant preparation, as a liquid medicinal form, peroral administrable solution, suspension, effervescent tablet, in the form of tabs or sachets, optionally in sustained action form,

in the form of a suitable injection or infusion preparation together with suitable pharmaceutically acceptable carriers and adjuvants, optionally in sustained action form or as a parenteral depot medicinal form or implant, in the form of a concentrate, powder or lyophilisate,

in the form of an inhalation therapeutic agent, in the form of a spray together with suitable pharmaceutically acceptable propellants, carriers and adjuvants,

in the form of a transdermal therapeutic system for systemic treatment,

in the form of a gastrointestinal therapeutic system (GITS) for systemic treatment,

in the form of a salve, suspension, emulsion, a balm or plaster or in the form of an externally applicable solution,

in the form of a rectal, genital, or transurethral administrable emulsion, a solution, a liposomal solution, an implant, suppository or a capsule.

in the form of a nasally, otologically or ophthalmologically applicable composition, or

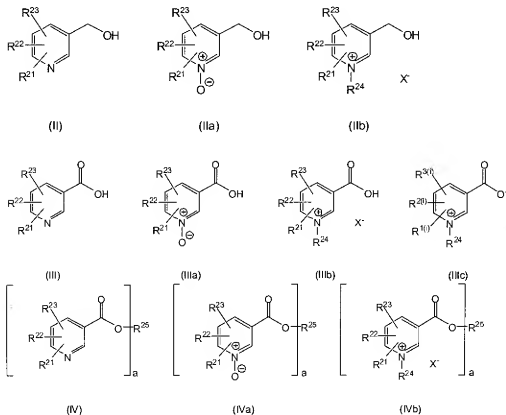
in a buccally applicable form.

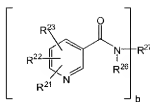
70. (New) The composition of claim 57 for administration by means of a controlled dosage aerosol or in the form of a dry powder dosage formulation.

71. (New) The composition of claim 57, wherein a dosage unit for individual administration contains 0.001 to 1000, 2000, 3000, 4000 or 5000 mg of the compound(s) according to formula (I).

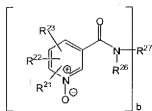
72. (New) A method for reducing side effects or neutralizing the side effects of a cancerostatic or immunosuppressive agent administered prophylactically or therapeutically to a patient, comprising administering to the patient a compound having vitamin PP activity or an ester thereof.

73. (New) The method of claim 72 where the compound having vitamin PP activity or an ester thereof is selected from the group consisting of compounds of formulae II, IIa, IIb, III, IIIa, IIIc, IV, IVa, IVb, V, Va, and Vb:

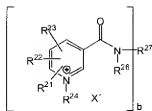




(V)



(Va)



(Vb)

wherein:

- a** is an integer of 1 through 6;
- b** is an integer of 1 through 2;
- X⁻** is selected from the group consisting of fluoride, chloride, bromide, iodide, hydrogensulfate, methanesulfonate, trifluoromethanesulfonate, tosylate, tetrafluoroborate, dihydrogenphosphate, and acetate;
- R²¹** is selected from the group consisting of hydrogen, halogen, cyano, C₁-C₆-alkyl, trifluoromethyl, C₁-C₆-hydroxyalkyl, hydroxy, C₁-C₆-alkoxy, C₁-C₇-alkanoyloxy, C₁-C₆-alkylthio, C₁-C₆-aminoalkyl, amino, C₁-C₆-alkylamino, C₂-C₁₂-dialkylamino, formyl, C₂-C₇-alkoxycarbonyl, aminocarbonyl, C₂-C₇-alkylaminocarbonyl, C₃-C₁₃-dialkylamino-carbonyl and carboxy;
- R²²** is selected from the group consisting of hydrogen, halogen, C₁-C₆-alkyl, trifluoromethyl, C₁-C₆-hydroxyalkyl, hydroxy, C₁-C₆-alkoxy, C₁-C₇-alkanoyloxy, C₁-C₆-aminoalkyl, amino, C₂-C₇-alkoxycarbonyl, aminocarbonyl, and carboxy;
- R²³** is selected from the group consisting of hydrogen, C₁-C₆-alkyl, and C₁-C₆-hydroxyalkyl;
- R²⁴** is selected from the group consisting of C₁-C₆-alkyl, C₃-C₆-alkenyl, C₂-C₆-hydroxyalkyl, C₂-C₆-alkoxyalkyl and benzyl;
- R²⁵** is such that the alcohol **R²⁵(OH)_a** is selected from monovalent, linear and branched, C₁-10-alkanols and ω-dialkylaminoalkanols, benzyl alcohol, divalent linear and branched C₂-10-diols, mono- or divalent C₅-7-cycloalkanediols, C₅-7-cycloalkanemethanols, saturated C₅-7-heterocyclomethanols, glycerin, 2,2-bis(hydroxymethyl)-1-octanol, erythritol, pentaerythritol, arabitol, xylitol, sorbitol, mannitol, isosorbitol, tetra(hydroxymethyl)cyclohexanol and inositol;
- R²⁶** is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₁-C₆-hydroxyalkyl, C₃-C₆-alkoxyalkyl, C₁-C₆-aminoalkyl, C₄-C₁₂-dialkylaminoalkyl and carboxymethyl;

when b is 1,

R²⁷ is selected from the group consisting of hydrogen, C₁-C₆-alkyl, C₁-C₆-hydroxyalkyl, C₃-C₆-alkoxyalkyl, C₁-C₆-aminoalkyl, C₄-C₁₂-dialkylaminoalkyl and carboxymethyl;

when b is 2,

R²⁷ is C₂-C₁₀-alkylene, or C₅-C₁₀-alkylene wherein a methylene group is isosterically replaced by O, NH or N-alkyl;

and their C=S analogs of C=O groups,

and the pharmaceutical acceptable salts thereof.

74. (New) The method of claim 73 where:

R²¹ is selected from the group consisting of hydrogen, halogen, cyano, C₁₋₆ alkyl, trifluoromethyl, C₁₋₆ hydroxyalkyl, hydroxy, C₁₋₆ alkoxy, C₂₋₇ alkanoyloxy, C₁₋₆ alkylthio, C₁₋₆ aminoalkyl, amino, C₁₋₆ alkylamino, di(C₁₋₆ alkyl)amino, formyl, alkoxy carbonyl, aminocarbonyl, (C₁₋₆ alkyl)aminocarbonyl, di(C₁₋₆ alkyl)aminocarbonyl, and carboxy;

R²² is selected from the group consisting of hydrogen, halogen, C₁₋₆ alkyl, trifluoromethyl, C₁₋₆ hydroxyalkyl, hydroxy, alkoxy, C₂₋₇ alkanoyloxy, C₁₋₆ aminoalkyl, amino, (C₁₋₆ alkoxy)carbonyl, aminocarbonyl, and carboxy;

R²³ is selected from the group consisting of hydrogen, C₁₋₆ alkyl, and C₁₋₆ hydroxyalkyl;

R²⁴ is selected from the group consisting of C₁₋₆ alkyl, C₃₋₆ alkenyl, C₂₋₆ hydroxyalkyl, C₂₋₆ alkoxyalkyl, and benzyl;

R²⁶ is selected from the group consisting of hydrogen, C₁₋₆ alkyl, C₁₋₆ hydroxyalkyl, C₃₋₆ alkoxyalkyl, C₁₋₆ aminoalkyl, C₄₋₁₂ dialkylaminoalkyl, and carboxymethyl;

when b is 1, **R²⁷** is selected from the group consisting of hydrogen, C₁₋₆ alkyl, C₁₋₆ hydroxyalkyl, C₃₋₆ alkoxyalkyl, C₁₋₆ aminoalkyl, C₄₋₁₂ dialkylaminoalkyl, and carboxymethyl; and

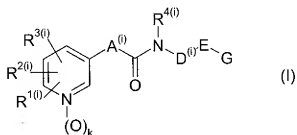
when b is 2, **R²⁷** is C₂₋₁₀ alkylene in which a methylene group is optionally replaced by O, NH, or N-alkyl.

75. (New) The method of claim 74 where the compound having vitamin PP activity or an ester thereof is selected from the group consisting of nicotinic acid, nicotinamide, and their pharmaceutically acceptable ester and amide derivatives, pharmaceutical acceptable salts, quaternary, and addition salts, N-oxides and their C=S derivatives, their isomers.

76. (New) The composition of claim 75 where the compound having vitamin PP activity or an ester thereof is selected from the group consisting of nicotinic acid, nicotinamide, and mixtures thereof.

77. (New) The method of claim 73 where the compound having vitamin PP activity is tryptophan.

78. (New) The method of Claim 72 where the cancerostatic or immunosuppressive agent is selected from the group consisting of compounds of formula I:



where:

each of $R^{1(i)}$, $R^{2(i)}$, $R^{3(i)}$, and $R^{4(i)}$ are independently selected from the group consisting of hydrogen, halogen, hydroxy, trifluoromethyl, cyano, aliphatic hydrocarbyl residue optionally substituted with one or more functional groups and optionally interrupted by one or more heteroatoms, and aromatic hydrocarbyl residue; or $R^{1(i)}$ and $R^{2(i)}$ together form a bridge;

k is 0 or 1;

$A^{(i)}$ and $D^{(i)}$ are independently a saturated or unsaturated optionally substituted aliphatic hydrocarbyl residue, optionally interrupted by a heteroatom or a functional group;

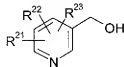
E is a bond or is a heterocyclic residue having one or two ring nitrogen atoms or one ring nitrogen atom and one ring oxygen atom, linked to D⁽ⁱ⁾ and G through a ring nitrogen atom and a ring carbon atom or through two ring nitrogen atoms; and

G is selected from the group consisting of hydrogen, an aliphatic or araliphatic residue, an unsaturated or aromatic monocyclic or polycyclic carbocyclic residue, a saturated, unsaturated, or aromatic monocyclic or polycyclic heterocyclic residue, bonded directly or through a functional group derived from a carbon, nitrogen, oxygen, sulfur, or phosphorus atom,

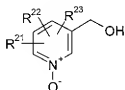
and the stereoisomers or racemic or non-racemic mixtures of stereoisomers thereof,

and the tautomers thereof when G is a heterocyclic aromatic ring or an aromatic ring substituted by a hydroxy, mercapto, or amino group, and the pharmacologically acceptable acid addition salts thereof;

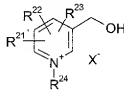
(b) at least one compound selected from the group consisting of compounds of formulae II, IIa, IIb, III, IIIa, IIIb, IIIc, IV, IVa, IVb, V, Va, and Vb:



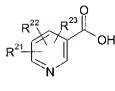
(II)



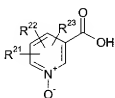
(IIa)



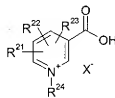
(IIb)



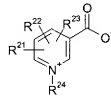
(III)



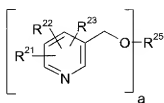
(IIIa)



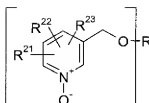
(IIIb)



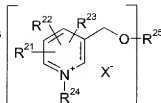
(IIIc)



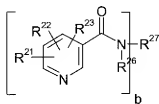
(IV)



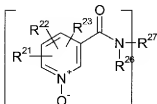
(IVa)



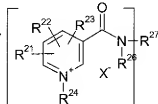
(IVb)



(V)



(Va)



(Vb)

where:

a is an integer of 1 through 6;

b is an integer of 1 through 2;

X' is selected from the group consisting of fluoride, chloride, bromide, iodide, hydrogensulfate, mesylate, trifluoromethanesulfonate, tosylate, tetrafluoroborate, dihydrogenphosphate, and acetate;

R²¹ is selected from the group consisting of hydrogen, halogen, cyano, alkyl, trifluoromethyl, hydroxyalkyl, hydroxy, alkoxy, alkanoyloxy, alkylthio, aminoalkyl, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, and carboxy;

R²² is selected from the group consisting of hydrogen, halogen, alkyl, trifluoromethyl, hydroxyalkyl, hydroxy, alkoxy, alkanoyloxy, aminoalkyl, amino, alkoxycarbonyl, aminocarbonyl, and carboxy;

R²³ is selected from the group consisting of hydrogen, alkyl, and hydroxyalkyl;

R²⁴ is selected from the group consisting of alkyl, alkenyl, hydroxyalkyl, alkoxyalkyl, and aralkyl;

R²⁵ is the residue of an alcohol R²⁵(OH)_a selected from monovalent linear and branched C₁₋₁₀ alkanols and ω-dialkylaminoalkanoles, benzyl alcohol, divalent linear and branched C₂₋₁₀ diols, mono- or divalent C₅₋₇ cycloalkanoles, C₅₋₇ cycloalkanediols, C₅₋₇ cycloalkanemethanoles, saturated C₅₋₇ heterocyclomethanoles, tri-, tetra-, penta-, and hexavalent linear, branched, and cyclic alcohols with 3 to 10 carbon atoms, glycerin, 2,2-bis(hydroxymethyl)-1-octanol, erythritol, pentaerythritol, arabitol, xylitol, sorbitol, mannitol, isosorbitol, tetra(hydroxymethyl)cyclohexanol, and inositol;

R²⁶ is selected from the group consisting of hydrogen, alkyl, hydroxyalkyl, alkoxyalkyl, aminoalkyl, dialkylaminoalkyl, and carboxymethyl;

when b is 1, R²⁷ is selected from the group consisting of hydrogen, alkyl, hydroxyalkyl, alkoxyalkyl, aminoalkyl, dialkylaminoalkyl, and carboxymethyl;

when b is 2, R²⁷ is alkylene in which a methylene group is optionally replaced by O, NH, or N-alkyl;

and the C=S analogs of C=O groups,

and the acid addition salts or the sodium, potassium, magnesium, calcium or aluminum salts thereof; and

(c) at least one physiologically acceptable carrier.

79. (New) The method of claim 72 where the cancerostatic or immunosuppressive agent is selected from the group consisting of

N-[2-(1-benzylpiperidin-4-yl)ethyl]-3-(pyridin-3-yl)propionamide;

N-[2-[1-(2-phenylethyl)piperidin-4-yl]ethyl]-3-(pyridin-3-yl)-propionamide;

N-[2-[1-(4-phenylbutyl)piperidin-4-yl]ethyl]-3-(pyridin-3-yl)-propionamide;

N-[2-[1-(4-hydroxy-4-phenylbutyl)piperidin-4-yl]ethyl]-3-(pyridin-3-yl)propionamide;

N-[2-(1-diphenylmethylpiperidin-4-yl)ethyl]-3-(pyridin-3-yl)-propionamide,

N-[3-(1-diphenylmethylpiperidin-4-yl)propyl]-3-(pyridin-3-yl)propionamide;

N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)propionamide;

N-[4-(1-benzylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)acrylamide;

N-[4-[1-(2-phenylethyl)piperidin-4-yl]butyl]-3-(pyridin-3-yl)-acrylamide;

N-{4-[1-(4-biphenylmethyl)piperidin-4-yl]butyl}-3-(pyridin-3-yl)acrylamide;
 N-{4-[1-(1-naphthylmethyl)piperidin-4-yl]butyl}-3-(pyridin-3-yl)acrylamide;
 N-{4-[1-(9-anthrylmethyl)piperidin-4-yl]butyl}-3-(pyridin-3-yl)acrylamide;
 N-{4-[1-(cyclohexylphenylmethyl)piperidin-4-yl]butyl}-3-(pyridin-3-yl)acrylamide;
 N-{4-[1-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)piperidin-4-yl]butyl}-3-(pyridin-3-yl)acrylamide;
 N-[2-(1-diphenylmethylpiperidin-4-yl)ethyl]-3-(pyridin-3-yl)acrylamide;
 N-[3-(1-diphenylmethylpiperidin-4-yl)propyl]-3-(pyridin-3-yl)acrylamide;
 N-[5-(1-diphenylmethylpiperidin-4-yl)pentyl]-3-(pyridin-3-yl)acrylamide;
 N-[6-(1-diphenylmethylpiperidin-4-yl)hexyl]-3-(pyridin-3-yl)acrylamide;
 N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-5-(pyridin-3-yl)-2,4-pentadienic acid amide;
 N-(4-{1-[bis(4-fluorophenyl)methyl]piperidin-4-yl}butyl)-3-(pyridin-3-yl)acrylamide;
 N-(4-{1-[bis(2-chlorophenyl)methyl]piperidin-4-yl}butyl)-3-(pyridin-3-yl)acrylamide;
 N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-3-(2-fluoro-pyridin-3-yl)acrylamide;
 N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-3-(6-fluoro-pyridin-3-yl)acrylamide;
 N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)acrylamide;
 N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)acrylamide dihydrochloride;
 N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)acrylamide methanesulfonate;
 N-[4-(1-acetyl)piperidin-4-yl]butyl]-3-(pyridin-3-yl)propionamide;
 N-[4-(1-benzoylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)propionamide;
 N-[4-(1-diphenylacetyl)piperidin-4-yl]butyl]-3-(pyridin-3-yl)propionamide;
 N-{4-[1-(9-oxo-9H-fluoren-4-carbonyl)piperidin-4-yl]butyl}-3-(pyridin-3-yl)propionamide;
 N-[4-(1-methylsulfonylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)propionamide;
 N-{4-[1-(2-naphthylsulfonyl)piperidin-4-yl]butyl}-3-(pyridin-3-yl)propionamide;
 N-[4-(1-benzylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)propionamide;
 N-(4-{1-[bis(2-chlorophenyl)methyl]piperidin-4-yl}butyl)-3-(pyridin-3-yl)propionamide;
 N-{4-[1-(phenylpyridin-3-ylmethyl)piperidin-4-yl]butyl}-3-(pyridin-3-yl)propionamide;
 N-{4-[1-(9H-fluoren-9-yl)piperidin-4-yl]butyl}-3-(pyridin-3-yl)propionamide;

N-{4-[1-(6,11-dihydrodibenzo[b,c]oxepin-11-yl)piperidin-4-yl]-butyl}-3-(pyridin-3-yl)propionamide;

N-{4-[1-(1-naphthylaminocarbonyl)piperidin-4-yl]butyl}-3-(pyridin-3-yl)propionamide;

N-{4-(1-diphenylaminocarbonylpiperidin-4-yl)butyl}-3-(pyridin-3-yl)propionamide;

N-{4-[1-(10,11-dihydrodibenzo[b,f]azepin-5-yl-carbonyl)piperidin-4-yl]butyl}-3-(pyridin-3-yl)propionamide;

N-[4-(1-diphenylphosphinoylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)propionamide;

N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-3-(2-fluoropyridin-3-yl)propionamide;

N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-3-(5-fluoropyridin-3-yl)propionamide;

N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-2-fluoro-3-(pyridin-3-yl)propionamide;

N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-2,2-difluoro-3-(pyridin-3-yl)propionamide;

N-[5-(1-diphenylmethylpiperidin-4-yl)pentyl]-3-(pyridin-3-yl)propionamide;

N-[6-(1-diphenylmethylpiperidin-4-yl)hexyl]-3-(pyridin-3-yl)propionamide;

N-[2-(1-diphenylmethylpiperidin-4-yl)ethyl]-5-(pyridin-3-yl)pentanoic acid amide;

N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-5-(pyridin-3-yl)pentanoic acid amide;

N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-N-hydroxy-3-(pyridin-3-yl)propionamide;

N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-2-hydroxy-3-(pyridin-3-yl)propionamide;

N-{4-(1-diphenylmethylpiperidin-4-yl)butyl}-3-hydroxy-3-(pyridin-3-yl)propionamide;

N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)propionamide;

N-[4-(1-methylsulfonylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)acrylamide;

N-{4-[1-(2-naphthylsulfonyl)piperidin-4-yl]butyl}-3-(pyridin-3-yl)acrylamide;

N-{4-[1-(2-naphthylsulfonyl)piperidin-4-yl]butyl}-5-(pyridin-3-yl)-2,4-pentadienic acid amide;

N-{4-[1-(1-naphthylaminocarbonyl)piperidin-4-yl]butyl}-3-(pyridin-3-yl)acrylamide;

N-[4-(1-diphenylaminocarbonylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)acrylamide;

N-[4-(1-diphenylaminocarbonylpiperidin-4-yl)butyl]-5-(pyridin-3-yl)-2,4-pentadienic acid amide;

N-{4-[1-(10,11-dihydrodibenzo[b,f]azepin-5-yl-carbonyl)piperidin-4-yl]-butyl}-3-(pyridin-3-yl)-acrylamide;

N-[4-(1-diphenylphosphinoylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)acrylamide;

N-[4-(1-acetyl)piperidin-4-yl)butyl]-3-(pyridin-3-yl)acrylamide;

N-[4-(1-diphenylacetyl)piperidin-4-yl]-butyl]-3-(pyridin-3-yl)acrylamide;
 N-[4-[1-(3,3-diphenylpropionyl)piperidin-4-yl]-butyl]-3-(pyridin-3-yl)acrylamide;
 N-[4-(1-benzoylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)acrylamide;
 N-[4-(1-benzoylpiperidin-4-yl)butyl]-5-(pyridin-3-yl)-2,4-pentadienic acid amide;
 N-[4-[1-(9-oxo-9H-fluoren-4-ylcarbonyl)piperidin-4-yl]butyl]-3-(pyridin-3-yl)acrylamide;
 N-[4-[1-(phenylpyridin-3-ylmethyl)piperidin-4-yl]-butyl]-3-(pyridin-3-yl)acrylamide;
 N-[4-[1-(phenylpyridin-4-ylmethyl)piperidin-4-yl]-butyl]-3-(pyridin-3-yl)acrylamide;
 N-[4-[1-(6,11-dihydrodibenzo[b,e]oxepin-11-yl)piperidin-4-yl]butyl]-3-(pyridin-3-yl)acrylamide;
 N-[4-[1-(6,11-dihydrodibenzo[b,e]thiepin-11-yl)piperidin-4-yl]-butyl]-3-(pyridin-3-yl)acrylamide;
 N-[7-(1-diphenylmethylpiperidin-4-yl)heptyl]-3-(pyridin-3-yl)acrylamide;
 N-[8-(1-diphenylmethylpiperidin-4-yl)octyl]-3-(pyridin-3-yl)acrylamide;
 N-[3-(1-diphenylmethylpiperidin-4-yloxy)propyl]-3-(pyridin-3-yl)acrylamide;
 N-[3-(1-benzylpiperidin-4-yloxy)propyl]-3-(pyridin-3-yl)acrylamide;
 N-[2-(1-diphenylmethylpiperidin-4-yl)ethyl]-5-(pyridin-3-yl)-2,4-pentadienic acid amide;
 N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-5-(pyridin-3-yl)-2,4-pentadienic acid amide;
 N-[5-(1-diphenylmethylpiperidin-4-yl)pentyl]-5-(pyridin-3-yl)-2,4-pentadienic acid amide;
 N-[6-(1-diphenylmethylpiperidin-4-yl)hexyl]-5-(pyridin-3-yl)-2,4-pentadienic acid amide;
 N-[4-(4-diphenylmethylpiperazin-1-yl)-3-hydroxybutyl]-3-(pyridin-3-yl)acrylamide;
 N-[3-(4-diphenylmethylpiperazin-1-yl)propoxy]-3-(pyridin-3-yl)acrylamide;
 N-[4-(4-diphenylmethylpiperazin-1-yl)-4-oxobutyl]-3-(pyridin-3-yl)acrylamide;
 N-[3-(4-diphenylmethylpiperazin-1-sulfonyl)propyl]-3-(pyridin-3-yl)acrylamide;
 N-[2-[2-(4-diphenylmethylpiperazin-1-yl)ethoxy]ethyl]-3-(pyridin-3-yl)acrylamide;
 N-(4-{4-[bis(4-fluorophenyl)methyl]piperazin-1-yl}but-2-enyl)-3-(pyridin-3-yl)acrylamide;
 N-(4-{4-[(4-carboxyphenyl)phenylmethyl]piperazin-1-yl}butyl)-3-(pyridin-3-

yl)acrylamide;

N-(4-{4-[(4-aminophenyl)phenylmethyl]piperazin-1-yl}butyl)-3-(pyridin-3-yl)acrylamide;

N-{4-[4-(9H-fluoren-9-yl)piperazin-1-yl]butyl}-2-(pyridin-3-yloxy)acetamide;

N-{5-[4-(9H-fluoren-9-yl)piperazin-1-yl]pentyl}-3-(pyridin-3-yl)acrylamide;

N-{6-[4-(9H-fluoren-9-yl)piperazin-1-yl]hexyl}-3-(pyridin-3-yl)acrylamide;

3-(pyridin-3-yl)-N-{4-[4-(1,2,3,4-tetrahydronaphthalen-1-yl)piperazin-1-yl]butyl}acrylamide;

3-(pyridin-3-yl)-N-{4-[4-(5,6,7,8-tetrahydronaphthalen-1-yl)piperazin-1-yl]butyl}acrylamide;

N-{4-[4-{naphthalen-1-yl}piperazin-1-yl]butyl}-3-(pyridin-3-yl)acrylamide;

N-[4-(4-biphenyl-2-yl)piperazin-1-yl]butyl]-3-(pyridin-3-yl)propionamide;

N-[5-(4-biphenyl-2-yl)piperazin-1-yl]pentyl]-3-(pyridin-3-yl)acrylamide;

N-[6-(4-biphenyl-2-yl)piperazin-1-yl]hexyl]-3-(pyridin-3-yl)acrylamide;

N-[4-(4-biphenyl-2-yl)piperazin-1-yl]butyl]-2-(pyridin-3-yloxy)acetamide;

N-[4-(4-biphenyl-2-yl)piperazin-1-yl]butyl]-5-(pyridin-3-yl)-2,4-pentadienic acid amide;

N-{4-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)piperazin-1-yl]butyl}-3-(pyridin-3-yl)propionamide;

N-{5-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)piperazin-1-yl]pentyl}-3-(pyridin-3-yl)acrylamide;

N-{6-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)piperazin-1-yl]hexyl}-3-(pyridin-3-yl)acrylamide;

N-{4-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)piperazin-1-yl]butyl}-5-(pyridin-3-yl)-2,4-pentadienic amide;

N-{4-[4-(6,11-dihydrodibenzo[b,e]oxepin-11-yl)piperazin-1-yl]butyl}-3-(pyridin-3-yl)propionamide;

N-{2-[4-(6,11-dihydrodibenzo[b,e]thiepin-11-yl)piperazin-1-yl]ethyl}-3-(pyridin-3-yl)acrylamide;

N-[4-(4-diphenylacetyl)piperazin-1-yl]butyl]-3-(pyridin-3-yl)acrylamide;

N-[4-(4-benzoyl)piperazin-1-yl]butyl]-3-(pyridin-3-yl)acrylamide;

N-{4-[4-(2-aminobenzoyl)piperazin-1-yl]butyl}-3-(pyridin-3-yl)acrylamide;

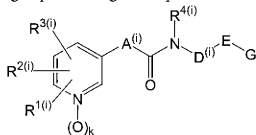
N-[4-[4-(4-carboxybenzoyl)piperazin-1-yl]butyl]-3-(pyridin-3-yl)acrylamide;
 N-[4-[4-(biphenyl-2-carbonyl)piperazin-1-yl]butyl]-3-(pyridin-3-yl)acrylamide;
 N-[4-[4-(9-oxo-9H-fluoren-4-carbonyl)piperazin-1-yl]butyl]-3-(pyridin-3-yl)acrylamide;
 N-[4-[4-(furan-2-carbonyl)piperazin-1-yl]butyl]-3-(pyridin-3-yl)acrylamide;
 N-[4-[4-(naphthalen-1-ylaminocarbonyl)piperazin-1-yl]butyl]-3-(pyridin-3-yl)propionamide;
 N-[4-[4-(diphenylaminocarbonyl)piperazin-1-yl]butyl]-3-(pyridin-3-yl)acrylamide;
 N-[4-[4-(naphthalen-2-sulfonyl)piperazin-1-yl]butyl]-3-(pyridin-3-yl)acrylamide;
 N-[4-[4-(diphenylphosphinonyl)piperazin-1-yl]butyl]-3-(pyridin-3-yl)acrylamide;
 N-[4-(4-biphenyl-2-yl)piperazin-1-yl]butyl]-3-(pyridin-3-yl)acrylamide;
 N-[4-[4-(9H-fluoren-9-yl)piperazin-1-yl]butyl]-3-(pyridin-3-yl)acrylamide;
 N-[4-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)piperazin-1-yl]butyl]-3-(pyridin-3-yl)acrylamide;
 N-[4-(4-phenylpiperidin-1-yl)-butyl]-3-(pyridin-3-yl)acrylamide;
 N-[4-[4-(1H-indol-3-yl)piperidin-1-yl]butyl]-3-(pyridin-3-yl)acrylamide;
 N-[4-[4-(2-oxo-2,3-dihydrobenzimidazol-1-yl)piperidin-1-yl]butyl]-3-(pyridin-3-yl)acrylamide;
 N-[4-(4-benzotriazol-1-yl)piperidin-1-yl]butyl]-3-(pyridin-3-yl)acrylamide;
 N-[4-[4-(hydroxydiphenylmethyl)piperidin-1-yl]butyl]-2-(pyridin-3-yloxy)acetamide;
 N-[4-(4,4-diphenylpiperidin-1-yl)butyl]-3-(pyridin-3-yl)acrylamide;
 N-[4-[4-(6,11-dihydrodibenzo[b,e]thiepin-11-yliden)piperidin-1-yl]butyl]-3-(pyridin-3-yl)propionamide dihydrochloride semi-isopropanol;
 N-[4-[4-(6,11-dihydrodibenzo[b,e]thiepin-11-yliden)piperidin-1-yl]butyl]-5-(pyridin-3-yl)pentanamide;
 N-[4-[4-(4,9-dihydrothieno[2,3-b]benzo[e]thiepin-4-yliden)piperidin-1-yl]butyl]-3-(pyridin-3-yl)propionamide;
 N-[4-[4-(4,9-dihydrothieno[2,3-b]benzo[e]thiepin-4-yliden)piperidin-1-yl]butyl]-3-(pyridin-3-yl)acrylamide;
 N-[4-(4-diphenylphosphinyloxypiperidin-1-yl)butyl]-3-(pyridin-3-yl)acrylamide;
 N-[4-(1,4-dioxo-8-azaspiro[4.5]dec-8-yl)butyl]-3-(pyridin-3-yl)acrylamide;
 N-[4-(2,5-dioxo-3,4-diphenyl-2,5-dihydropyrrol-1-yl)butyl]-3-(pyridin-3-yl)acrylamide;

N-[4-(2,6-dioxo-4-phenylpiperidin-1-yl)butyl]-3-(pyridin-3-yl)acrylamide;
 N-[4-(1,3-dioxo-4,5,6,7-tetraphenyl-1,3-dihydroisoindol-2-yl)butyl]-3-(pyridin-3-yl)acrylamide;
 N-[4-(3-benzyl-2,4,5-trioximidazolidin-1-yl)butyl]-3-(pyridin-3-yl)acrylamide;
 N-[4-(1,3,10-trioxo-1,4,5,6,10,10a-hexahydroacenaphtho[1,8a-c]pyrrol-2-yl)butyl]-3-(pyridin-3-yl)acrylamide;
 N-[4-(2,5-dioxo-4,4-diphenylimidazolidin-1-yl)butyl]-3-(pyridin-3-yl)acrylamide;
 N-[4-(2,5-dioxo-3-phenyl-2,5-dihydropyrrol-1-yl)butyl]-3-(pyridin-3-yl)acrylamide;
 N-[3-(2,5-dioxo-3,4-diphenyl-2,5-dihydropyrrol-1-yl)propyl]-3-(pyridin-3-yl)acrylamide;
 N-[4-(3-pyridin-3-ylacryloylamino)butyl]-2,3:5,6-dibenzobicyclo[2.2.2]octan-7,8-dicarboximide;
 N-[4-(5-benzyliden-2,4-dioxothiazolidin-3-yl)butyl]-3-(pyridin-3-yl)acrylamide;
 N-[4-(4-benzyl-2,6-dioxopiperazin-1-yl)butyl]-3-(pyridin-3-yl)acrylamide;
 N-[6-(2,5-dioxo-3,4-diphenyl-2,5-dihydropyrrol-1-yl)hexyl]-3-(pyridin-3-yl)acrylamide;
 N-[4-(2,5-dioxo-3,4-diphenyl-2,5-dihydropyrrol-1-yl)butyl]-3-(pyridin-3-yl)propionamide;
 N-[4-(1,3-dioxo-1,3-dihydroisoindol-2-yl)butyl]-3-(pyridin-3-yl)acrylamide;
 N-[4-(1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl)butyl]-3-(1-oxopyridin-3-yl)acrylamide;
 N-[6-(1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl)hexyl]-3-(pyridin-3-yl)acrylamide;
 N-[2-(1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl)ethyl]-3-(pyridin-3-yl)acrylamide;
 N-[4-(1,3-dioxo-1H,3H-benzo[de]isoquinolin-2-yl)butyl]-3-(pyridin-3-yl)acrylamide;
 N-[8,8-bis(4-fluorophenyl)octyl]-3-(pyridin-3-yl)acrylamide hydrochloride;
 N-[6-(3,3-diphenylureido)hexyl]-3-(pyridin-3-yl)acrylamide;
 N-[4-(1-phenyl-1,2,4,5-tetrahydrobenzo[d]azepin-3-yl)butyl]-3-(pyridin-3-yl)acrylamide;
 N-(8,8-diphenyloctyl)-3-(pyridin-3-yl)acrylamide;
 N-(8-hydroxy-8,8-diphenyloctyl)-3-(pyridin-3-yl)acrylamide;
 N-[4-(3,3-diphenylureido)butyl]-3-(pyridin-3-yl)acrylamide;
 N-[4-(1H,3H-benzo[de]isoquinolin-2-yl)butyl]-3-(pyridin-3-yl)acrylamide;
 N-[6-(10,11-dihydrodibenzof[b, f]azepin-5-ylcarbonylamino)hexyl]-3-(pyridin-3-yl)acrylamide;

3-(pyridin-3-yl)-N-[6-tosylaminohexyl]acrylamide;
 N-[4-(1,1-dioxo-1-thia-2-azaacenaphthyl-2-yl)butyl]-3-(pyridin-3-yl)acrylamide;
 N-(6-hydroxy-6,6-diphenylhexyl)-3-(pyridin-3-yl)acrylamide;
 N-(6,6-diphenylhex-5-enyl)-3-(pyridin-3-yl)acrylamide;
 N-[4-(4,5-diphenylimidazol-1-yl)butyl]-3-(pyridin-3-yl)acrylamide;
 N-[4-(trans-2-phenylcyclopropylcarbonylamino)butyl]-3-(pyridin-3-yl)acrylamide;
 N-(5-hydroxy-5,5-diphenylpentyl)-3-(pyridin-3-yl)acrylamide;
 N-(7-phenylheptyl)-3-(pyridin-3-yl)acrylamide;
 N-(4-diphenylacetylaminobutyl)-3-(pyridin-3-yl)acrylamide;
 N-[4-(benzhydrylamino)butyl]-3-(pyridin-3-yl)acrylamide; and
 N-4-{{2-(benzhydrylmethylamino)ethyl}methylamino}butyl)-3-(pyridin-3-yl)acrylamide.

80. (New) The method of claim 73 comprising the additional administration of a further cancerostatic or immunosuppressive agent that is not a compound of formula Ia.

81. (New) The method of Claim 72, wherein the cancerostatic or immunosuppressive agent is selected from the group consisting of compounds of formula (I):



(I)

wherein:

$R^{1(i)}$ is selected from

hydrogen, halogen, cyano, C_1 - C_6 -alkyl, C_3 - C_6 -alkenyl, C_2 - C_6 -alkinyl,
 trifluoromethyl, hydroxy, C_3 - C_8 -cycloalkyl, C_1 - C_6 -hydroxyalkyl, C_1 - C_6 -alkoxy,
 C_3 - C_6 -alkenyloxy, C_3 - C_6 -alkinyloxy, benzyloxy, C_1 - C_7 -alkanoyloxy,
 C_1 - C_7 -alkoxycarbonyloxy, C_1 - C_6 -alkylthio, C_3 - C_6 -alkenylthio,

C₃-C₆-alkynylthio, C₃-C₈-cycloalkyloxy, C₃-C₈-cycloalkylthio, C₂-C₇-alkoxycarbonyl, aminocarbonyl, C₂-C₇-alkylaminocarbonyl, C₃-C₁₃-dialkylaminocarbonyl, carboxy, phenyl, phenoxy, phenylthio, pyridyloxy, pyridylthio, and **NR⁵⁽ⁱ⁾R⁶⁽ⁱ⁾**, wherein **R⁵⁽ⁱ⁾** and **R⁶⁽ⁱ⁾** are selected independently from each other from hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, benzyl and phenyl;

R²⁽ⁱ⁾ is selected from hydrogen, halogen, cyano, C₁-C₆-alkyl, trifluoromethyl, hydroxy, C₁-C₆-alkoxy, benzyl and C₁-C₆-alkanoyloxy; or

R¹⁽ⁱ⁾ and **R²⁽ⁱ⁾** when they are adjacent optionally form a bridge selected from -(CH₂)₄-, -(CH=CH)₂- and -CH₂O-**CR⁷⁽ⁱ⁾R⁸⁽ⁱ⁾**-O-, wherein **R⁷⁽ⁱ⁾** and **R⁸⁽ⁱ⁾** are selected independently from each other from hydrogen and C₁-C₆-alkyl;

R³⁽ⁱ⁾ selected is from hydrogen, halogen, C₁-C₆-alkyl, trifluoromethyl and C₁-C₆-hydroxyalkyl;

R⁴⁽ⁱ⁾ is selected from hydrogen, hydroxy, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₃-C₆-cycloalkyl, C₁-C₆-alkoxy and benzyloxy;

k is 0 or 1,

A⁽ⁱ⁾ is selected from C₁-C₆-alkylene, optionally substituted one- to three-fold by C₁-C₆-alkyl, C₁-C₃-alkoxy, hydroxy, fluorine, or phenyl, C₂-C₆-alkylene, wherein a methylene unit is isosterically replaced by O, S, **NR⁹⁽ⁱ⁾**, CO, SO or SO₂, wherein, with the exception of CO, the isosteric substitution is not adjacent to the amide group, and **R⁹⁽ⁱ⁾** is selected from hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl, C₃-C₆-acyl and C₁-C₆-alkanesulfonyl,

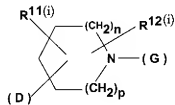
1,2-cyclopropylene,
 C₂-C₆-alkenylene, optionally substituted one to three-fold by C₁-C₆-alkyl,
 hydroxy, C₁-C₃-alkoxy, fluorine, cyano or phenyl,
 C₄-C₆-alkadienylene, optionally substituted once or twice by C₁-C₃-alkyl,
 fluorine, cyano or phenyl,
 1,3,5-hexatrienylene, optionally substituted by C₁-C₆-alkyl, fluorine, cyano or
 phenyl, and
 ethinylene;

D⁽ⁱ⁾ is selected from
 C₁-C₁₂-alkylene, optionally substituted once or twice by C₁-C₆-alkyl, hydroxy,
 C₁-C₆-alkoxy, or phenyl,
 C₂-C₁₂-alkenylene or C₄-C₁₂-alkadienylene, optionally substituted once or twice
 by C₁-C₆-alkyl, hydroxy, C₁-C₆-alkoxy, or phenyl, wherein one double-bond can
 optionally occur to ring **E** in the case that ring **E** is linked over a C-atom,
 C₃-C₁₂-alkinylene or C₄-C₁₂-alkenynylene, optionally substituted once or twice
 by C₁-C₆-alkyl, hydroxy, C₁-C₆-alkoxy or phenyl, and
 C₁-C₁₂-alkylene, C₂-C₁₂-alkenylene or C₃-C₁₂-alkinylene, wherein, one to
 three methylene units, with the exception of the (G)-terminal methylene group in
 the case that **E** represents a bond, are isosterically replaced by O, S, **NR¹⁰⁽ⁱ⁾**, CO,
 SO or SO₂, wherein

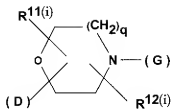
R¹⁰⁽ⁱ⁾ has the same meaning as **R⁹⁽ⁱ⁾**, but is selected independently thereof;

E is selected from **E¹⁽ⁱ⁾**, **E²⁽ⁱ⁾**, **E³**, **E⁴**, **E⁵** and **E⁶**, wherein

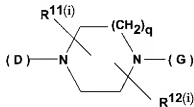
E¹⁽ⁱ⁾ is



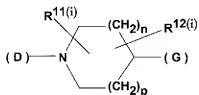
E²⁽ⁱ⁾ is



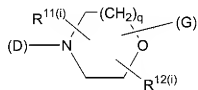
E³ is



E⁴ is



E⁵ is



and

E⁶ represents a single or double bond,

wherein the heterocyclic rings **E¹⁽ⁱ⁾** to **E⁵** optionally have a double bond,

n and **p** are, independently from each other, 0, 1, 2, or 3 with the proviso that, **n + p ≤ 4**,

q is 1, 2 or 3;

R¹¹⁽ⁱ⁾ is selected from

hydrogen, C₁-C₆-alkyl, hydroxy,

hydroxymethyl, carboxy and C₂-C₇-alkoxycarbonyl,

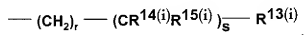
R¹²⁽ⁱ⁾ is selected from

hydrogen, C₁-C₆-alkyl and an oxo group adjacent to a nitrogen atom, or

R¹¹⁽ⁱ⁾ and **R¹²⁽ⁱ⁾**, optionally together, form a C₁-C₃-alkylene bridge under formation of a bicyclic ring system, and

(a) in the case that E represents **E¹⁽⁰⁾**, **E²⁽⁰⁾**, or **E³** the substituent G optionally is selected from **G¹⁽⁰⁾**, **G²⁽⁰⁾**, **G³⁽⁰⁾**, **G⁴⁽⁰⁾** and **G⁵⁽⁰⁾**, wherein

G¹⁽ⁱ⁾ is



wherein

r is 0 to 3 and

s is 0 or 1,

R¹³⁽ⁱ⁾ is selected from

hydrogen, C₁-C₆-alkyl, C₃-C₆-alkenyl, C₃-C₆-alkinyl and C₃-C₈-cycloalkyl;
saturated or unsaturated, four to seven-membered heterocycles which contain one or two hetero-atoms selected from N, S and O;
benzyl, phenyl;

monocyclic aromatic five or six-membered heterocycles which contain one to three hetero-atoms selected from N, S and O, and are either bound directly or over a methylene group;

annelated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16, 17 or 18 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group;

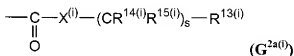
annelated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16, 17 or 18 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from N, S and O and the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group;

R¹⁴⁽ⁱ⁾ has the same meaning as **R¹³⁽ⁱ⁾**, but is independently selected therefrom;

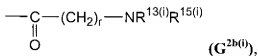
R¹⁵⁽ⁱ⁾ is selected from

hydrogen, hydroxy, methyl, benzyl, phenyl,
monocyclic aromatic five or six-membered heterocycles which contain one to three hetero-atoms selected from N, S and O and are either bound directly or over a methylene group;
annelated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16, 17 or 18 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group;
annelated bi- and tricyclic aromatic or partially hydrated heterocyclic ring systems with 8 to 16, 17 or 18 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from N, S and O and the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group;

G²⁽ⁱ⁾ is selected from

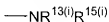


and



wherein *r*, *s* and the substituents **R¹³⁽ⁱ⁾**, **R¹⁴⁽ⁱ⁾** and **R¹⁵⁽ⁱ⁾** have the above meanings,

or the group



is a nitrogen-containing heterocycle bound over the nitrogen atom, which nitrogen-containing heterocycle is selected from
saturated and unsaturated monocyclic, four to eight-membered heterocycles, which aside from the essential nitrogen atom, optionally contain one or two further hetero-atoms selected from N, S and O,
and


saturated and unsaturated bi- or tricyclic, anellated or bridged heterocycles with 8 to 16, 17 or 18 ring atoms, which aside from the essential nitrogen atom, optionally contain one or two further hetero-atoms selected from N, S and O,

X⁽ⁱ⁾ is selected from
methylene, ethylene, ethenylene, propylene, and C₃-C₇-cycloalkylene, or
represents a bond;

G³⁽ⁱ⁾ is

$$-\text{SO}_2-(\text{CH}_2)_r-\text{R}^{13(i)},$$

wherein **r** and **R¹³⁽ⁱ⁾** have the above meanings,

G⁴⁽ⁱ⁾ is


wherein

Ar¹ and **Ar²** are selected independently from each other from phenyl, pyridyl and naphthyl;

G⁵⁽ⁱ⁾ is

$$-\text{COR}^{16(i)}$$

wherein

R¹⁶⁽ⁱ⁾ is selected from
trifluoromethyl, C₁-C₆-alkoxy, C₃-C₆-alkenyloxy, and benzyloxy,

(c) in the case that **E** is **E⁴** or **E⁵**,
then **G** optionally is **G¹⁽ⁱ⁾**, **G²⁽ⁱ⁾**, **G⁶⁽ⁱ⁾**, **G⁷** or **G⁸**,
wherein **G¹⁽ⁱ⁾** and **G²⁽ⁱ⁾** have the above meanings and

G⁶⁽ⁱ⁾ is

$$=(\text{C})_u\text{R}^{13(i)}\text{R}^{15(i)},$$

wherein **R¹³⁽ⁱ⁾** and **R¹⁵⁽ⁱ⁾** have the above meanings and

u is 0 or 1,

or when $u = 1$, then $R^{13(i)}$ and $R^{15(i)}$ together with the carbon atom to which they are attached form a ring system selected from

C_3 - C_8 -cycloalkyl,

saturated, four to seven-membered heterocycles which optionally contain one or two hetero-atoms, selected from N, S and O;

annelated bi- and tricyclic partially hydrogenated carbocyclic ring systems with 8 to 16, 17 or 18 ring atoms and at least one aromatic ring;

annelated bi- and tricyclic partially hydrogenated heterocyclic ring systems with 8 to 16, 17 or 18 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from N, S and O;

or when $u = 0$ then $R^{13(i)}$ and $R^{15(i)}$ together with the carbon atom of ring **E** to which they are attached form a ring system selected from

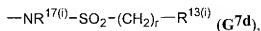
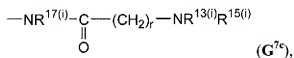
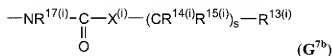
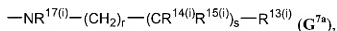
C_3 - C_8 -cycloalkyl,

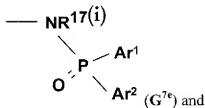
saturated, four to seven-membered heterocycles which contain one or two hetero-atoms, selected from N, S and O;

annelated bi- and tricyclic partially hydrogenated carbocyclic ring systems with 8 to 16, 17 or 18 ring atoms and at least one aromatic ring; and

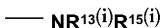
annelated bi- and tricyclic partially hydrogenated heterocyclic ring systems with 8 to 16, 17 or 18 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from N, S and O;

G⁷ is selected from





wherein **r**, **s**, **X⁽ⁱ⁾**, the substituents **R¹³⁽ⁱ⁾**, **R¹⁴⁽ⁱ⁾**, **R¹⁵⁽ⁱ⁾** and **R¹⁶⁽ⁱ⁾** and the group

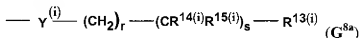


have the above meanings, and

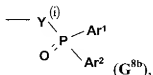
R¹⁷⁽ⁱ⁾ has the same meanings as **R⁵⁽ⁱ⁾**, but is selected independently thereof,

Ar¹ and **Ar²** are selected independently from each other from phenyl, pyridyl and naphthyl;

G⁸ is selected from



and



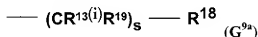
wherein

r, **s** and the substituents **R¹³⁽ⁱ⁾**, **R¹⁴⁽ⁱ⁾**, **R¹⁵⁽ⁱ⁾**, **Ar¹** and **Ar²** have the above meanings, and

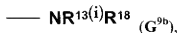
Y⁽ⁱ⁾ is O or S;

(c) in the case that the substituent **E** is **E⁶**, then the substituent **G** optionally is selected from **G^{7d}**, **G^{7e}**, **G^{8b}**, **G⁹**, **G¹⁰**, **G¹¹**, **G¹²**, and **G¹³**, wherein **G^{7d}**, **G^{7e}** and **G^{8b}** have the above meanings and

G⁹ is selected from



and



wherein s and R¹³⁽ⁱ⁾ are defined as above, and

R¹⁸ is selected from

benzyl, diphenylmethyl, phenyl;

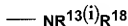
monocyclic aromatic five and six-membered heterocycles which can contain one to three hetero-atoms selected from N, S and O and are either bound directly or over a methylene group;

annelated bi- and tricyclic aromatic or partially hydrogenated carbocyclic ring systems with 8 to 16, 17 or 18 ring atoms and at least one aromatic ring, wherein the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group; and

annelated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16, 17 or 18 ring atoms and at least one aromatic ring, wherein one to three ring atoms are selected from N, S and O and the linkage occurs either over an aromatic or a hydrogenated ring and either directly or over a methylene group;

R¹⁹ has the same meanings as R¹³⁽ⁱ⁾ but is selected independently thereof, and in addition can be hydroxy;

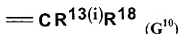
or the group



optionally is a nitrogen-containing heterocycle bound over the nitrogen atom, which nitrogen-containing heterocycle is selected from

annelated bi- and tricyclic aromatic or partially hydrogenated heterocyclic ring systems with 8 to 16, 17 or 18 ring atoms and at least one aromatic ring, which aside from the essential nitrogen atom, optionally contain one or two further hetero-atoms selected from N, S and O;

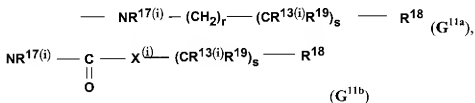
G¹⁰ is



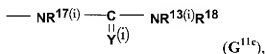
bound to D by means of a double bond, wherein **R¹³⁽ⁱ⁾** and **R¹⁸** have the above meanings, or wherein **G¹⁰**

optionally is a ring system bound over the carbon atom, selected from annellated bi- and tricyclic partially hydrogenated carbocyclic ring systems with 8 to 16, 17 or 18 ring atoms and at least one aromatic ring; and annellated bi- and tricyclic partially hydrogenated heterocyclic ring systems with 8 to 16, 17 or 18 ring atoms and at least one aromatic ring, wherein one to three ring atoms optionally are selected from N, S and O;

G¹¹ is selected from

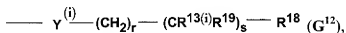


and



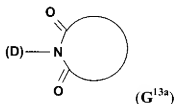
wherein *r*, *s*, **X⁽ⁱ⁾**, **Y⁽ⁱ⁾**, the substituents **R¹³⁽ⁱ⁾**, **R¹⁷⁽ⁱ⁾**, **R¹⁸** and **R¹⁹** and the group **NR¹³⁽ⁱ⁾R¹⁸** have the above meanings;

G¹² is

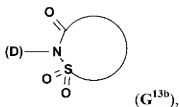


wherein *r*, *s*, **Y⁽ⁱ⁾** and the substituents **R¹³⁽ⁱ⁾**, **R¹⁸** and **R¹⁹** have the above meanings;

G¹³ is selected from



and



bound to D over the imide nitrogen atom, selected from saturated and unsaturated monocyclic imides with 5 to 7 ring atoms, which, aside from the essential imide nitrogen atom, optionally contains one or two further hetero-atoms selected from N, S and O;

saturated, unsaturated and aromatic annellated, bi-, tri- or tetracyclic imides with 8 to 18 ring atoms, which, aside from the essential imide nitrogen atom, optionally contain one or two further hetero-atoms selected from N, S and O;

saturated and unsaturated, bridged bi-, tri-, tetra- or pentacyclic imides with 8 to 22 ring-atoms, which, aside from the essential imide nitrogen atom, optionally contain one or two further hetero-atoms selected from N, S and O; and

saturated and unsaturated spirocyclic imides, optionally annellated one or two-fold, and with a total of 9 to 23 ring atoms, which, aside from the essential imide nitrogen atom, optionally contain one or two further hetero-atoms selected from N, S and O,

wherein these cyclic imides optionally are substituted by one to five of the same or different groups selected independently from each other from

halogen, cyano, C₁-C₆-alkyl, C₁-C₆-alkylidene, trifluoromethyl, C₃-C₈-cycloalkyl, C₃-C₈-cycloalkylidene, phenyl-C₁-C₃-alkyl, phenyl-C₁-C₃-alkylidene, diphenyl-C₁-C₃-alkyl, diphenyl-C₁-C₃-alkylidene, triphenylmethyl, phenyl, hydroxy, C₁-C₆-hydroxyalkyl, C₁-C₆-alkoxy, C₁-C₆-alkoxy entirely or partially substituted by fluorine, benzyloxy, phenoxy, naphthyloxy, mercapto, C₁-C₆-alkylthio, phenylthio, naphthylthio, pyridylthio, C₁-C₆-alkanesulfonyl, phenylsulfonyl, naphthylsulfonyl, pyridylsulfonyl, sulfo, carboxy, C₂-C₇-carboxyalkyl, C₃-C₇-carboxyalkenyl, C₂-C₇-alkoxycarbonyl,

benzyloxycarbonyl, nitro, amino, C₁-C₆-aminoalkyl, mono-C₁-C₆-alkylamino di(C₁-C₆-alkyl)amino, phenylamino, phenyl-C₁-C₃-alkylamino, pyridylamino, saturated and unsaturated, four to seven-membered heterocycles which contain one or two hetero-atoms selected from N, S and O and are either bound directly or over a methylene group or a methine group, monocyclic aromatic five and six-membered heterocycles which contain one to three hetero-atoms, selected from N, S and O and are either bound directly or over methylene group or a methine group, anellated bicyclic, aromatic and partially hydrogenated carbocyclic ring systems with 8 to 12 ring atoms which are either bound directly over a methylene group or a methine group, and anellated bicyclic aromatic and partially hydrogenated heterocyclic ring systems with 8 to 12 ring atoms, wherein one to three ring atoms are selected from N, S and O and are either bound directly or over a methylene group or a methine group, wherein aromatic ring systems in the substituents **R¹⁽ⁱ⁾**, **R²⁽ⁱ⁾**, **R⁴⁽ⁱ⁾**, **R⁵⁽ⁱ⁾**, **R⁶⁽ⁱ⁾**, **R¹³⁽ⁱ⁾**, **R¹⁴⁽ⁱ⁾**, **R¹⁵⁽ⁱ⁾**, **R¹⁶⁽ⁱ⁾**, **R¹⁷⁽ⁱ⁾**, **R¹⁸**, **R¹⁹**, **Ar¹** and **Ar²**, in the groups **A⁽ⁱ⁾** and **D⁽ⁱ⁾**, in the ring systems $\text{---CR}^{13(i)}\text{R}^{15(i)}$, $\text{---CR}^{13(i)}\text{R}^{18}$, $\text{---NR}^{13(i)}\text{R}^{15(i)}$ and $\text{---NR}^{13(i)}\text{R}^{18(i)}$ as well as substituents and/or substituents in the cyclic imides **G¹³** optionally are independently substituted by one to three of the same or different groups, selected from

halogen, cyano, C₁-C₆-alkyl, trifluoromethyl, C₃-C₈-cycloalkyl, benzyl, phenyl, hydroxy, C₁-C₆-hydroxyalkyl, C₁-C₆-alkoxy, C₁-C₆-alkoxy entirely or partially substituted by fluorine, benzyloxy, phenoxy, mercapto, C₁-C₆-alkylthio, phenylthio, sulfo, carboxy, C₂-C₇-carboxyalkyl, C₃-C₇-carboxyalkenyl, C₂-C₇-alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, C₁-C₆-aminoalkyl, mono-C₁-C₆-alkylamino and di(C₁-C₆-alkyl)amino, and in the case of two adjacent residues on the aromatic ring, methylenedioxy,

wherein alkyl and cycloalkyl residues in the groups **G** optionally are substituted by one or two of the same or different groups, selected from

hydroxy, carboxy, C₂-C₇-alkoxycarbonyl, benzyloxycarbonyl, amino, mono-C₁-C₆-alkylamino and di(C₁-C₆-alkyl)amino;

and the stereoisomers or racemic or non-racemic mixtures of stereoisomers thereof, and the tautomers thereof when **G** is a heterocyclic aromatic ring or an aromatic ring substituted by a hydroxy, mercapto or amino group, and the pharmacologically acceptable acid addition salts thereof.

82. (New) The method of claim 73, wherein additionally to the prophylactically or therapeutically administered cancerostatic or immunosuppressive agent according to formula (I) a further cancerostatic or immunosuppressive agent different therefrom is administered.